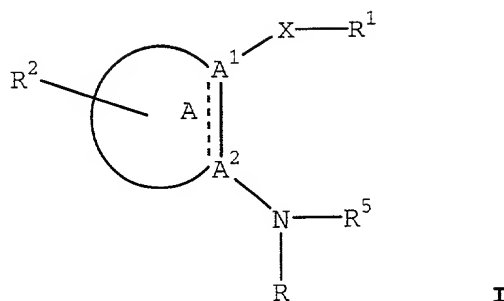


WHAT IS CLAIMED IS:

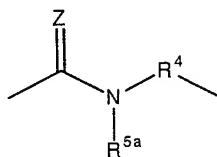
1. A compound of formula I



wherein each of A¹ and A² is independently C, CH or N;

wherein ring A is selected from

- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,
- d) 9-, 10- or 11-membered fused heteroaryl,
- e) aryl, and
- f) 4-, 5- or 6-membered cycloalkenyl;



wherein X is

wherein Z is oxygen or sulfur;

wherein R is selected from

- a) substituted or unsubstituted 4-6 membered heterocyclyl,
- b) substituted aryl, and
- c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;

wherein substituted R is substituted with one or more

substituents independently selected from halo, -OR³,

-SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³,
-NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally
substituted 3-6 membered heterocyclyl, optionally
substituted phenyl, nitro, alkylaminoalkoxyalkoxy,
5 cyano, oxo, alkylaminoalkoxy, lower alkyl
substituted with R², lower alkenyl substituted with
R², and lower alkynyl substituted with R²;

wherein R¹ is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- 10 b) substituted or unsubstituted 4-6 membered
heterocyclyl,
- c) substituted or unsubstituted 9-14 membered bicyclic or
tricyclic heterocyclyl,
- d) cycloalkyl, and
- 15 e) cycloalkenyl,

wherein substituted R¹ is substituted with one or more
substituents independently selected from halo, -OR³,
-SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₄
alkylenylR¹⁴), -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -
20 NR³C(O)R³, -NR³C(O)NR³R³, optionally substituted
cycloalkyl, optionally substituted 4-6 membered
heterocyclyl, optionally substituted phenyl,
halosulfonyl, cyano, alkylaminoalkoxy,
alkylaminoalkoxyalkoxy, nitro, lower alkyl
25 substituted with R², lower alkenyl substituted with
R², and lower alkynyl substituted with R²;

wherein R² is one or more substituents independently selected

- from H, halo, -OR³, oxo, -SR³, -CO₂R³, -COR³, -CONR³R³, -
NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl,
30 optionally substituted phenylalkylenyl, optionally
substituted 4-6 membered heterocyclyl, optionally
substituted heteroarylalkylenyl, optionally substituted
phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower
carboxyalkyl, nitro, C₁₋₆-alkoxy-C₁₋₆-alkoxy, C₁₋₆-alkoxy-C₁₋

- 6-alkoxy-C₁₋₆-alkoxy, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl; wherein R³ is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 3-6 membered heterocyclyl, optionally substituted C₃-C₆-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclylalkyl, optionally substituted C₃-C₆ cycloalkylalkyl, and lower haloalkyl;
- 10 wherein R⁴ is selected from a direct bond, C₂₋₄-alkylenyl, C₂₋₄-alkenylenyl and C₂₋₄-alkynylenyl, where one of the CH₂ groups may be replaced with an oxygen atom or an -NH-, wherein R⁴ is optionally substituted with hydroxy; wherein R⁵ is selected from H, lower alkyl, optionally substituted phenyl and optionally substituted lower aralkyl;
- 15 wherein R¹⁴ is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C₃-C₆ cycloalkyl;
- 20 and pharmaceutically acceptable derivatives thereof; provided A is not pyridyl when X is -C(O)NH- and when R¹ is 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl when R⁵ is methyl and when R is 4-methylpiperidyl; further provided A is not pyridyl when X is -C(O)NH-, when R⁵ is H, when R² is 6-methyl and when R is indazolyl;
- 25 further provided A is not phenyl when X is -C(O)NH-, when R¹ is phenyl, 4-bromophenyl, 2-methylphenyl, 4-methoxyphenyl, when R⁵ is H and when R is 4-pyridyl; further provided A is not phenyl when X is -C(O)NH-, when R¹ is phenyl, when R⁵ is H and when R is 2-oxobenzopyran-4-yl;
- 30 further provided A is not phenyl when X is -C(O)NH-, when R¹ is phenyl, 4-chlorophenyl, 3-nitrophenyl, 4-methoxyphenyl, when R⁵ is H and when R is 4-imidazolyl;

further provided A is not phenyl when X is -C(O)NH-, when R⁵ is H, when R^{5a} is substituted benzyl and when R is substituted triazinyl;

5 further provided A is not phenyl when X is -C(O)NH-, when R¹ is phenyl or 2-chlorophenyl, when R⁵ is H and when R is 4-quinazolinyl;

further provided A is not phenyl when X is -C(O)NH-, when R¹ is phenyl, when R⁵ is H and when R is isoquinolin-1-yl;

10 further provided A is not phenyl when X is -C(O)NH-, when R¹ is 2-chlorophenyl or 4-chlorophenyl, when R⁵ is H and when R is 3-chloroisoquinolin-1-yl;

further provided A is not phenyl when X is -C(O)NH-, when R¹ is 1-ethylpiperid-3-yl or 1-ethylpiperid-4-yl, when R⁵ is H and when R is 8-trifluoromethylquinolin-4-yl;

15 further provided A is not phenyl when X is -C(O)NH-, when R¹ is 1-ethylpiperid-3-yl, when R⁵ is H and when R is 8-chloroquinolin-4-yl;

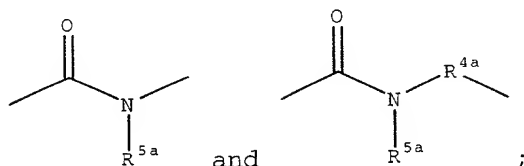
further provided A is not phenyl when X is -C(O)NH-, when R¹ is halo substituted phenyl, 1-butylpiperid-4-yl, 1-ethylpiperid-3-yl or 1-ethylpiperid-4-yl, when R⁵ is H and when R is 7-chloroquinolin-4-yl; and

20 further provided R is not unsubstituted 2-thienyl, unsubstituted 2-pyridyl or unsubstituted 3-pyridyl.

25 2. Compound of Claim 1, and pharmaceutically acceptable derivatives thereof, wherein A is selected from 5- or 6- membered partially saturated heterocyclyl.

30 3. Compound of Claim 2, wherein A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl,

imidazoliny and pyrazoliny; wherein X is selected from



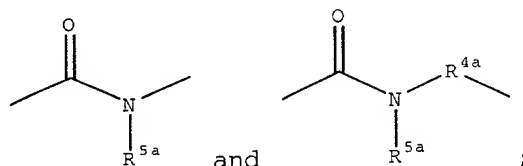
- wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-\text{OR}^3$, $-\text{SR}^3$, $-\text{SO}_2\text{R}^3$, $-\text{CO}_2\text{R}^3$, $-\text{CONR}^3\text{R}^3$, $-\text{COR}^3$, $-\text{NR}^3\text{R}^3$, $-\text{SO}_2\text{NR}^3\text{R}^3$, $-\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $-\text{NR}^3\text{C}(\text{O})\text{R}^3$, C_{3-6} -cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4} -alkylamino- C_{1-4} -alkoxy- C_{1-4} -alkoxy, cyano, C_{1-4} -alkylamino- C_{1-4} -alkoxy, C_{1-2} -alkyl substituted with R^2 , C_{2-3} -alkenyl substituted with R^2 , and C_{2-3} -alkynyl substituted with R^2 ;
- wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6} -cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-\text{OR}^3$, oxo, $-\text{SR}^3$, $-\text{SO}_2\text{R}^3$, $-\text{CO}_2\text{R}^3$, $-\text{CONR}^3\text{R}^3$, $-\text{COR}^3$, $-\text{NR}^3\text{R}^3$, $-\text{NH}(\text{C}_{1-4}\text{alkylenylR}^3)$, $-(\text{C}_{1-4}\text{alkylenyl})\text{NR}^3\text{R}^3$, $-\text{SO}_2\text{NR}^3\text{R}^3$, $-\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $-\text{NR}^3\text{C}(\text{O})\text{R}^3$, C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkoxy- C_{1-6} -alkoxy, halosulfonyl, optionally substituted 4-6 membered heterocyclylcarbonylalkyl, C_{1-4} -

alkoxycarbonylamino- C_{1-6} -alkyl, , optionally substituted C_{3-6} -cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-6} -alkylenyl, optionally

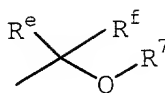
substituted 4-6 membered heterocyclyl-C₁-C₆-alkylenyl, 4-6 membered heterocyclyl-C₂-C₆-alkenylenyl, C₁₋₄-alkyl, cyano, C₁₋₄-hydroxyalkyl, nitro and C₁₋₄-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₆-alkyl, cyano, C₁₋₄-hydroxyalkyl, C₁₋₄-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₄-haloalkyl; wherein R³ is independently selected from H, C₁₋₄-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₄-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkyl, optionally substituted C₃-C₆-cycloalkyl and C₁₋₂-haloalkyl; wherein R^{4a} is C₂₋₄-alkylenyl, where one of the CH₂ groups may be replaced with an oxygen atom or an -NH-; wherein R^{4a} is optionally substituted with hydroxy; wherein R⁵ is selected from H and C₁₋₂-alkyl; wherein R^{5a} is selected from H and C₁₋₂-alkyl; wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and wherein R⁷ is selected from H, C₁₋₆-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₆-alkyl, optionally substituted cycloalkyl, optionally substituted cycloalkyl-C₁₋₆-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁-C₆-alkyl, C₁₋₄-alkoxy-C₁₋₄-alkyl and C₁₋₄-alkoxy-C₁₋₄-alkoxy-C₁₋₄-alkyl, and pharmaceutically acceptable derivatives thereof.

4. Compound of Claim 1, and pharmaceutically acceptable derivatives thereof, wherein A is selected from 5- or 6- membered heteroaryl.

5. Compound of Claim 4, wherein A is selected from pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl; wherein X
- 5 is selected from

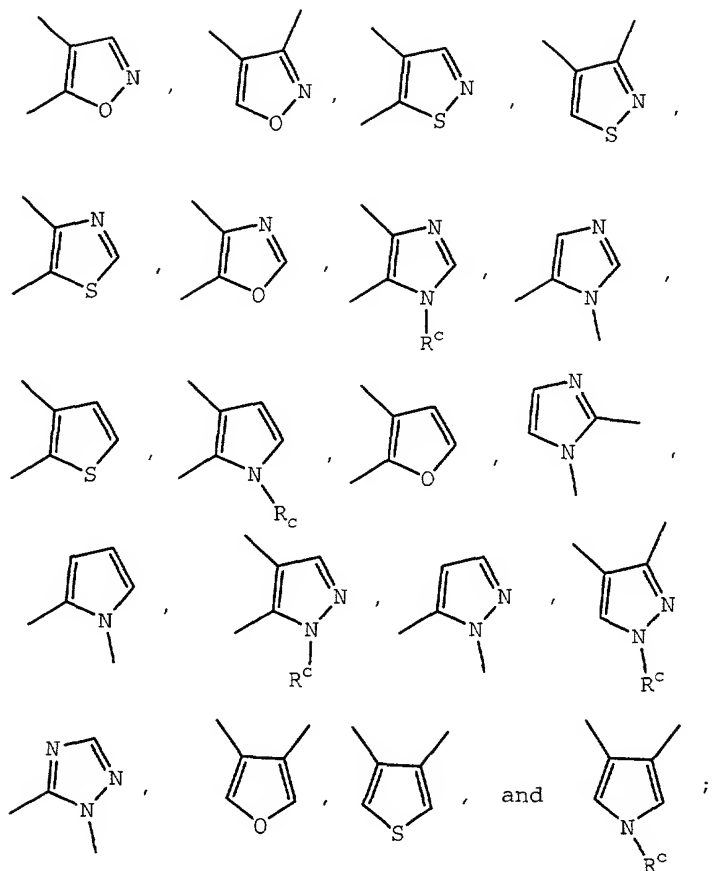


- wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10
- 10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-\text{OR}^3$, $-\text{SR}^3$, $-\text{SO}_2\text{R}^3$, $-\text{CO}_2\text{R}^3$, $-\text{CONR}^3\text{R}^3$, $-\text{COR}^3$, $-\text{NR}^3\text{R}^3$, $-\text{SO}_2\text{NR}^3\text{R}^3$, $-\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $-\text{NR}^3\text{C}(\text{O})\text{R}^3$, C_{3-6} -cycloalkyl, optionally substituted 4-6
- 15 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4} -alkylamino- C_{1-4} -alkoxy- C_{1-4} -alkoxy, cyano, C_{1-4} -alkylamino- C_{1-4} -alkoxy, C_{1-2} -alkyl substituted with R^2 , C_{2-3} -alkenyl substituted with R^2 , and C_{2-3} -alkynyl substituted with R^2 ; wherein R^1 is selected from substituted or unsubstituted
- 20 aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6} -cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1 is substituted with one
- 25 or more substituents independently selected from halo, $-\text{OR}^3$, oxo, $-\text{SR}^3$, $-\text{SO}_2\text{R}^3$, $-\text{CO}_2\text{R}^3$, $-\text{CONR}^3\text{R}^3$, $-\text{COR}^3$, $-\text{NR}^3\text{R}^3$, $-\text{NH}(\text{C}_1-\text{C}_4 \text{ alkylene}\text{R}^3)$, $-(\text{C}_1-\text{C}_4 \text{ alkylene})\text{NR}^3\text{R}^3$, $-\text{SO}_2\text{NR}^3\text{R}^3$, $-\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $-\text{NR}^3\text{C}(\text{O})\text{R}^3$, C_1-C_6 -alkylamino- C_1-C_6 -alkoxy, C_1-C_6 -alkylamino- C_1-C_6 -alkoxy- C_1-C_6 -alkoxy, halosulfonyl, optionally substituted
- 30 4-6 membered heterocyclylcarbonylalkyl, C_{1-4} -



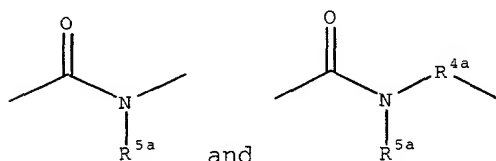
- alkoxycarbonylamino-C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₆-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_{1-C6}-alkylenyl, 4-6 membered heterocyclyl-C_{2-C6}-alkenylenyl, C₁₋₆-alkyl, cyano, C₁₋₄-hydroxyalkyl, nitro and C₁₋₄-haloalkyl; wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₆-alkyl, cyano, C₁₋₄-hydroxyalkyl, C₁₋₄-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₄-haloalkyl; wherein R³ is independently selected from H, C₁₋₄-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₄-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkyl, optionally substituted C_{3-C6} cycloalkyl and C₁₋₂-haloalkyl; wherein R^{4a} is C₂₋₄-alkylenyl, where one of the CH₂ groups may be replaced with an oxygen atom or an -NH-; wherein R^{4a} is optionally substituted with hydroxy; wherein R⁵ is selected from H and C₁₋₂-alkyl; wherein R^{5a} is selected from H and C₁₋₂-alkyl; wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and wherein R⁷ is selected from H, C₁₋₆-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₆-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-C6}-alkyl, C₁₋₄-alkoxy-C₁₋₄-alkyl and C₁₋₄-alkoxy-C₁₋₄-alkoxy-C₁₋₄-alkyl, and pharmaceutically acceptable derivatives thereof.

6. Compound of Claim 1 wherein A is selected from



wherein R^c is selected from H, methyl and optionally

5 substituted phenyl; wherein X is selected from



; wherein R is selected

from substituted or unsubstituted pyrazolyl, triazolyl,
pyridyl, pyrimidinyl, and pyridazinyl, substituted phenyl,
indazolyl, indolyl, isoindolyl, quinoliny, isoquinoliny,

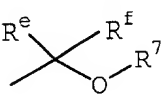
10 benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-

dihydroquinol-7-yl, naphthyridinyl and quinazolinyl; wherein

substituted R is substituted with one or more substituents
independently selected from halo, hydroxy, C_{1-4} -alkyl, C_{1-2} -
alkoxy, optionally substituted 4-6 membered heterocyclyl- C_{1-}

15 $_2$ -alkoxy, amino, C_{1-2} -alkylamino, aminosulfonyl, $-NR^3C(O)OR^3$,

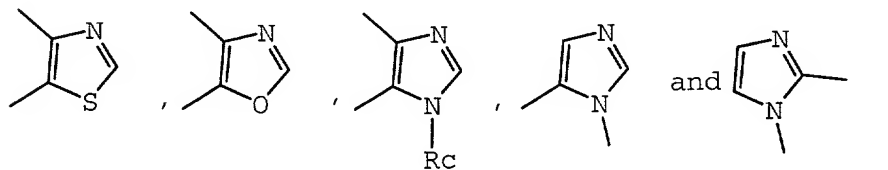
- NR³C(O)R³, C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C₁₋₂-alkylamino-C₁₋₂-alkoxy-C₁₋₂-alkoxy, cyano, C₁₋₂-alkylamino-C₁₋₂-alkoxy, C₁₋₂-alkylamino-C₁₋₂-alkyl, C₁₋₂-alkylamino-C₂₋₃-alkynyl, C₁₋₂-hydroxyalkyl, C₁₋₂-aminoalkyl, C₁₋₂-haloalkyl, optionally substituted 4-6 membered heterocyclyl-C₂₋₃-alkenyl, and optionally substituted 4-6 membered heterocyclyl-C₂₋₃-alkynyl; wherein R¹ is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C₃₋₆-cycloalkyl, and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁-C₄-alkylenyl, C₁₋₂-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C₁-C₄-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C₂-C₄-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocycliloxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-

hydroxyalkyl,  and C₁₋₄-alkoxy; wherein R² is one

or more substituents independently selected from H, halo, hydroxy, C₁₋₂-alkoxy, C₁₋₂-haloalkoxy, amino, C₁₋₂-alkylamino, optionally substituted 4-6 membered heterocyclyl-C₁₋₂-alkylamino, aminosulfonyl, C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₄-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is independently selected from H, C₁₋₄-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₄-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkyl, optionally substituted C₃₋₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R^{4a} is C₂₋₃-alkylenyl where one of the CH₂ groups may be replaced with an oxygen atom or an -NH-, wherein R^{4a} is optionally substituted with hydroxy; wherein R⁵ is selected from H and C₁₋₂-alkyl; wherein R^{5a} is selected from H and C₁₋₂-alkyl; wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl, and pharmaceutically acceptable derivatives thereof.

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7. Compound of Claim 6 wherein A is selected from



wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is -C(O)-NH-; wherein R is selected from substituted or unsubstituted 4-pyridyl, 3-

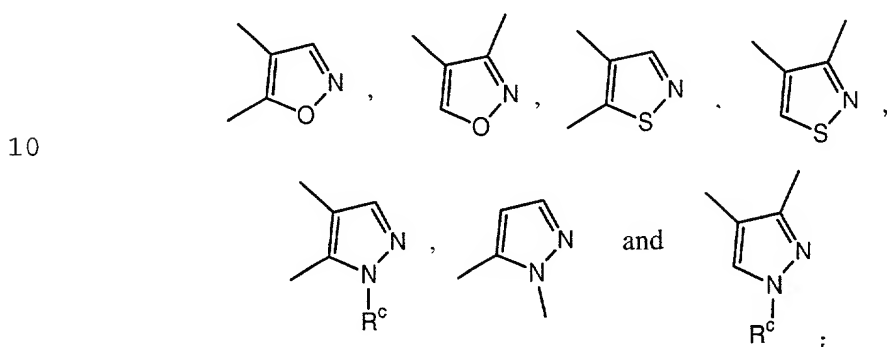
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- pyridyl, 2-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, optionally substituted (heterocyclyl-substituted phenyl), 5-indazolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, indolyl, isoindolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-
- 5 1,2-dihydroquinol-7-yl, quinoxaliny, 4-isoquinolyl, 5-isoquinolyl, naphthyridinyl and 6-isoquinolyl; wherein substituted R is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-
- 10 methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, optionally substituted phenyl,
- 15 methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl; wherein R¹ is selected from substituted or unsubstituted phenyl, indanyl, tetrahydronaphthyl, naphthyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, cyclohexyl, isoxazolyl, pyrazolyl,
- 20 thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl, benzthiazolyl,
- 25 isoquinolyl, quinolyl, tetrahydroquinolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R¹ is substituted with one or more substituents independently
- 30 selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,

- piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and wherein R² is one or more substituents independently selected from H, chloro, fluoro, bromo,

hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl, propynyl and trifluoromethyl
 5 and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

8. Compound of Claim 6 wherein A is selected from

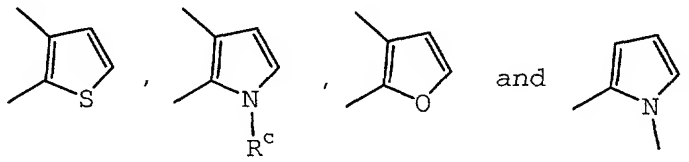


wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is $-C(O)-NH-$; wherein R is
 15 selected from substituted or unsubstituted 4-pyridyl, 3-pyridyl, 2-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, optionally substituted (heterocyclyl-substituted phenyl), 5-indazolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, indolyl, isoindolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-
 20 1,2-dihydroquinol-7-yl, quinoxalyl, 4-isoquinolyl, 5-isoquinolyl, naphthyridinyl and 6-isoquinolyl; wherein substituted R is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-
 25 methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally

- substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl; wherein R¹ is selected from substituted or unsubstituted phenyl, indanyl,
- 5 tetrahydronaphthyl, naphthyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-
- 10 isoquinolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-
- 15 fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R¹ is substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,
- 20 phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
- 25 Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,
- 30 pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,

- aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
- 5 imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-
- 10 di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
- 15 phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and
- 20 ethoxy; and wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano,
- 25 hydroxymethyl, nitro, propenyl, propynyl and trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

- 30 9. Compound of Claim 6 wherein A is selected from



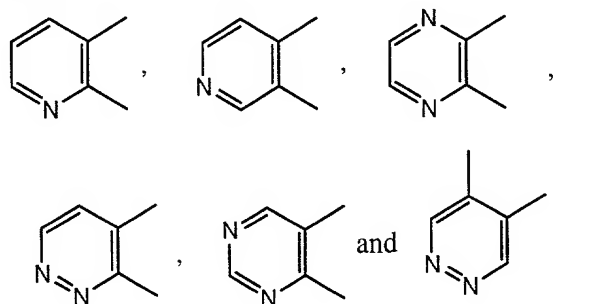
wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is -C(O)-NH-; wherein R is selected from substituted or unsubstituted 4-pyridyl, 3-
5 pyridyl, 2-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, optionally substituted (heterocyclyl-substituted phenyl), 5-indazolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, indolyl, isoindolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, quinoxaliny, 4-isoquinolyl, 5-
10 isoquinolyl, naphthyridinyl and 6-isoquinolyl; wherein substituted R is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl,
15 dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl,
20 nitro and trifluoromethyl; wherein Rⁱ is selected from substituted or unsubstituted phenyl, indanyl, tetrahydronaphthyl, naphthyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl,
25 pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl,
30 benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted Rⁱ is substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino,

- cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo,
aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,
phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-
4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,
5 piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-
methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-
(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-
piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-
10 4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-
ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl,
pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,
pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-
pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl,
15 pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,
methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-
methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,
aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-
ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-
20 methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-
butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
25 nonafluorobutyl, dimethylaminopropyl, 1,1-
di(trifluoromethyl)-1-hydroxymethyl, 1,1-
di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
30 aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,
pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-
ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-

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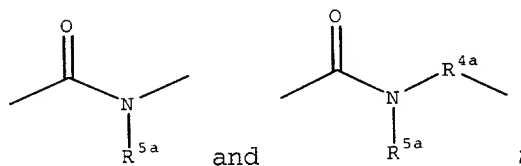
2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl, propynyl and trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

10. Compound of Claim 1, wherein A is selected from



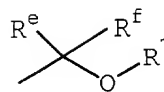
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wherein X is selected from

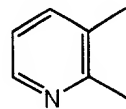


wherein R is selected from substituted or unsubstituted pyrazolyl, triazolyl, pyridyl, pyrimidinyl, and pyridazinyl, substituted phenyl, indazolyl, indolyl, isoindolyl, quinolinyl, isoquinolinyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, naphthyridinyl and quinazolinyl; wherein substituted R is substituted with one or more substituents independently selected from halo, hydroxy, C_{1-4} -alkyl, C_{1-2} -alkoxy,

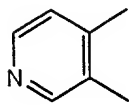
- optionally substituted 4-6 membered heterocyclyl-C₁₋₂-alkoxy, amino, C₁₋₂-alkylamino, aminosulfonyl, -NR³C(O)OR³, -NR³C(O)R³, C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro,
- 5 C₁₋₂-alkylamino-C₁₋₂-alkoxy-C₁₋₂-alkoxy, cyano, C₁₋₂-alkylamino-C₁₋₂-alkoxy, C₁₋₂-alkylamino-C₁₋₂-alkyl, C₁₋₂-alkylamino-C₂₋₃-alkynyl, C₁₋₂-hydroxyalkyl, C₁₋₂-aminoalkyl, C₁₋₂-haloalkyl, optionally substituted 4-6 membered heterocyclyl-C₂₋₃-alkenyl, and optionally substituted 4-6 membered
- 10 heterocyclyl-C₂₋₃-alkynyl; wherein R¹ is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C₃₋₆-cycloalkyl, and substituted or unsubstituted 9-10 membered
- 15 bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, C₁₋₆-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C₁-C₄-alkylenyl, C₁₋₂-
- 20 haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C₁-C₄-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C₂-C₄-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered
- 25 heterocyclyloxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-
- 30 aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-



- alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl, and C₁₋₄-alkoxy; wherein R² is one or more substituents independently selected from H, halo, hydroxy, C₁₋₂-alkoxy, C₁₋₂-haloalkoxy, amino, C₁₋₂-alkylamino, optionally
- 5 substituted 4-6 membered heterocyclyl-C₁₋₂-alkylamino, aminosulfonyl, C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₄-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R³ is
- 10 independently selected from H, C₁₋₄-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₄-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkyl, optionally substituted C₃₋₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R^{4a} is
- 15 C₂₋₃-alkylenyl where one of the CH₂ groups may be replaced with an oxygen atom or an -NH-, wherein R^{4a} is optionally substituted with hydroxy; wherein R⁵ is selected from H and C₁₋₂-alkyl; wherein R^{5a} is selected from H and C₁₋₂-alkyl; wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted
- 20 phenyl-C₁₋₃-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl, and pharmaceutically acceptable
- 25 derivatives thereof.



11. Compound of Claim 10, wherein A is



- ; wherein X is -C(O)-NH-; wherein R is selected

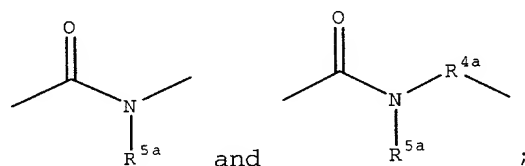
from substituted or unsubstituted 4-pyridyl, 3-pyridyl, 2-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, optionally substituted (heterocyclyl-substituted phenyl), 5-indazolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, indolyl, isoindolyl, 5 benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, quinoxalyl, 4-isoquinolyl, 5-isoquinolyl, naphthyridinyl and 6-isoquinolyl; wherein substituted R is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, 10 methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally 15 substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl; wherein R¹ is selected from substituted or unsubstituted phenyl, indanyl, tetrahydronaphthyl, naphthyl, indazolyl, indolyl, 2,1,3- 20 benzothiadiazolyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1,2,3,4-tetrahydro-isoquinolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, benzimidazolyl, 25 dihydro-benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R¹ is 30 substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-

- 4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,
piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-
methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-
(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
5 Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-
piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-
4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-
ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl,
pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,
10 pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-
pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl,
pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,
methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-
methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,
15 aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-
ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-
methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
20 hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-
butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
nonafluorobutyl, dimethylaminopropyl, 1,1-
di(trifluoromethyl)-1-hydroxymethyl, 1,1-
di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
25 di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,
30 pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-
ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-
2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-
ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and
ethoxy; and wherein R² is one or more substituents

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independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl, propynyl, trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

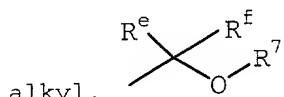
12. Compound of Claim 1 wherein A is 9- or 10-membered fused partially saturated heterocyclyl or 9- or 10-membered fused heteroaryl; wherein X is selected from



wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-\text{OR}^3$, $-\text{SR}^3$, $-\text{SO}_2\text{R}^3$, $-\text{CO}_2\text{R}^3$, $-\text{CONR}^3\text{R}^3$, $-\text{COR}^3$, $-\text{NR}^3\text{R}^3$, $-\text{SO}_2\text{NR}^3\text{R}^3$, $-\text{NR}^3\text{C}(\text{O})\text{OR}^3$, $-\text{NR}^3\text{C}(\text{O})\text{R}^3$, C_{3-6} -cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4} -alkylamino- C_{1-4} -alkoxy- C_{1-4} -alkoxy, cyano, C_{1-4} -alkylamino- C_{1-4} -alkoxy, C_{1-2} -alkyl substituted with R^2 , C_{2-3} -alkenyl substituted with R^2 , and C_{2-3} -alkynyl substituted with R^2 ; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6} -cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1

is substituted with one or more substituents independently selected from halo, $-OR^3$, oxo, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4 \text{ alkylenyl}R^3)$, $-(C_1-C_4 \text{ alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_1-C_6 -alkylamino- C_1-C_6 -

- 5 alkoxy, C_1-C_6 -alkylamino- C_1-C_6 -alkoxy- C_1-C_6 -alkoxy, halosulfonyl, optionally substituted 4-6 membered heterocyclylcarbonylalkyl, C_{1-4} -alkoxycarbonylamino- C_{1-6} -



- alkyl, , optionally substituted C_{3-6} -cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-6} -alkylenyl, optionally substituted 4-6 membered heterocyclyl- C_{1-6} -alkylenyl, 4-6 membered heterocyclyl- C_{2-6} -alkenylenyl, C_{1-6} -alkyl, cyano, C_{1-4} -hydroxyalkyl, nitro and C_{1-4} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6} -cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C_{1-6} -alkyl, cyano, C_{1-4} -hydroxyalkyl, C_{1-4} -carboxyalkyl, nitro, C_{2-3} -alkenyl, C_{2-3} -alkynyl and C_{1-4} -haloalkyl; wherein R^3 is independently selected from H, C_{1-4} -alkyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-4} -alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- C_{1-4} -alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2} -haloalkyl; wherein R^{4a} is C_{2-4} -alkylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an $-NH-$; wherein R^{4a} is optionally substituted with hydroxy; wherein R^5 is selected from H and C_{1-2} -alkyl; wherein R^{5a} is selected from H and C_{1-2} -alkyl; wherein R^e and R^f are independently selected from H and C_{1-2} -haloalkyl; and wherein R^7 is selected from H, C_{1-6} -alkyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-6} -alkyl, optionally substituted 4-6 membered
- 10
- 15
- 20
- 25
- 30

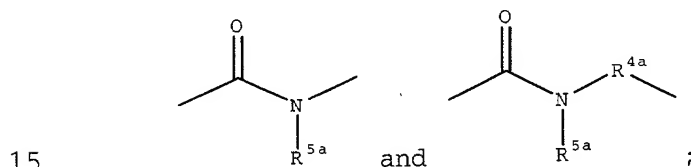
heterocyclyl, optionally substituted 4-6 membered heterocyclyl- C_{1-6} -alkyl, C_{1-4} -alkoxy- C_{1-4} -alkyl and C_{1-4} -alkoxy- C_{1-4} -alkoxy- C_{1-4} -alkyl, and pharmaceutically acceptable derivatives thereof.

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13. Compound of Claim 12 wherein A is selected from benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, naphthpyridinyl, tetrahydroquinolyl, quinoxalinyl and quinazolinyl; and pharmaceutically acceptable salts thereof.

10

14. Compound of Claim 1, wherein A is 5- or 6-membered cycloalkenyl; wherein X is selected from

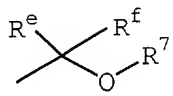


wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6} -cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4} -alkylamino- C_{1-4} -alkoxy- C_{1-4} -alkoxy, cyano, C_{1-4} -alkylamino- C_{1-4} -alkoxy, C_{1-2} -alkyl substituted with R^2 , C_{2-3} -alkenyl substituted with R^2 , and C_{2-3} -alkynyl substituted with R^2 ; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6} -

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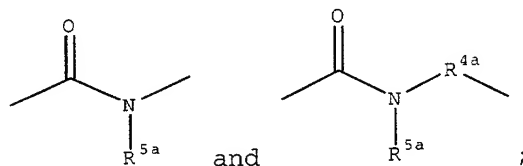
30

- cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, oxo, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4 \text{ alkylenyl}R^3)$, $-(C_1-C_4 \text{ alkylenyl})NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_1-C_6 -alkylamino- C_1-C_6 -alkoxy, C_1-C_6 -alkylamino- C_1-C_6 -alkoxy- C_1-C_6 -alkoxy, halosulfonyl, optionally substituted 4-6 membered heterocyclylcarbonylalkyl, C_1-4 -alkoxycarbonylamino- C_1-6 -

- 10 alkyl, , optionally substituted C_{3-6} -cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-6} -alkylenyl, optionally substituted 4-6 membered heterocyclyl- C_{1-6} -alkylenyl, 4-6 membered heterocyclyl- C_2-C_6 -alkenylenyl,
- 15 C_{1-4} -alkyl, cyano, C_{1-4} -hydroxyalkyl, nitro and C_{1-4} -haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6} -cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally
- 20 substituted phenyl, C_{1-6} -alkyl, cyano, C_{1-4} -hydroxyalkyl, C_{1-4} -carboxyalkyl, nitro, C_{2-3} -alkenyl, C_{2-3} -alkynyl and C_{1-4} -haloalkyl; wherein R^3 is independently selected from H, C_{1-4} -alkyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-4} -alkyl, optionally substituted 4-6 membered
- 25 heterocyclyl, optionally substituted 4-6 membered heterocyclyl- C_{1-4} -alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2} -haloalkyl; wherein R^{4a} is C_{2-4} -alkylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an $-NH-$; wherein R^{4a} is optionally substituted with
- 30 hydroxy; wherein R^5 is selected from H and C_{1-2} -alkyl; wherein R^{5a} is selected from H and C_{1-2} -alkyl; wherein R^e and R^f are independently selected from H and C_{1-2} -haloalkyl; and wherein R^7 is selected from H, C_{1-6} -alkyl, optionally substituted

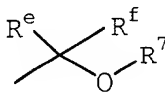
- phenyl, optionally substituted phenyl-C₁₋₆-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₆-alkyl, C₁₋₄-alkoxy-C₁₋₄-alkyl and C₁₋₄-alkoxy-C₁₋₄-alkoxy-C₁₋₄-alkyl, and
- 5 pharmaceutically acceptable derivatives thereof.

15. Compound of Claim 1, wherein A is phenyl; wherein X is selected from



- 10 wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted
- 15 with one or more substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C₁₋₄-alkylamino-C₁₋₄-alkoxy-C₁₋₄-
- 20 alkoxy, cyano, C₁₋₄-alkylamino-C₁₋₄-alkoxy, C₁₋₂-alkyl substituted with R², C₂₋₃-alkenyl substituted with R², and C₂₋₃-alkynyl substituted with R²; wherein R¹ is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl,
- 25 substituted or unsubstituted 5-6 membered heteroaryl, C₃₋₆-cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R¹ is substituted with one or more substituents independently selected from halo, -OR³, oxo, -SR³, -SO₂R³, -CO₂R³, -CONR³R³,
- 30 -COR³, -NR³R³, -NH(C₁-C₄ alkyleneR³), -(C₁-C₄ alkylene)NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, C₁₋₆-alkylamino-C₁₋₆-

alkoxy, C₁-C₆-alkylamino-C₁-C₆-alkoxy-C₁-C₆-alkoxy,
 halosulfonyl, optionally substituted 4-6 membered
 heterocyclylcarbonylalkyl, C₁₋₄-alkoxycarbonylamino-C₁₋₆-

alkyl, , optionally substituted C₃₋₆-cycloalkyl,

- 5 optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₆-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C₁-C₆-alkylenyl, 4-6 membered heterocyclyl-C₂-C₆-alkenylenyl, C₁₋₆-alkyl, cyano, C₁₋₄-hydroxyalkyl, nitro and C₁₋₄-haloalkyl;
- 10 wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, C₃₋₆-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₆-alkyl, cyano, C₁₋₄-hydroxyalkyl, C₁₋₄-
- 15 carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₄-haloalkyl; wherein R³ is independently selected from H, C₁₋₄-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₄-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered
- 20 heterocyclyl-C₁₋₄-alkyl, optionally substituted C₃-C₆ cycloalkyl and C₁₋₂-haloalkyl; wherein R^{4a} is C₂₋₄-alkylenyl, where one of the CH₂ groups may be replaced with an oxygen atom or an -NH-; wherein R^{4a} is optionally substituted with hydroxy; wherein R⁵ is selected from H and C₁₋₂-alkyl; wherein
- 25 R^{5a} is selected from H and C₁₋₂-alkyl; wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and wherein R⁷ is selected from H, C₁₋₆-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₆-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally
- 30 substituted 4-6 membered heterocyclyl-C₁-C₆-alkyl, C₁₋₄-alkoxy-C₁₋₄-alkyl and C₁₋₄-alkoxy-C₁₋₄-alkoxy-C₁₋₄-alkyl, and pharmaceutically acceptable derivatives thereof.

16. Compound of Claim 1 and pharmaceutically acceptable salts thereof selected from

- N-(4-Chlorophenyl) [2-(6-quinolylamino) (3-pyridyl)]carboxamide;
- 5 N-(4-Chlorophenyl) [2-(5-isoquinolylamino) (3-pyridyl)]carboxamide;
- N-(4-Chlorophenyl) [2-(1H-indazol-5-ylamino) (3-pyridyl)]carboxamide;
- N-(4-Chlorophenyl) [2-(1H-indazol-6-ylamino) (3-pyridyl)]carboxamide;
- 10 2-(1H-Indazol-6-ylamino)-N-(4-isopropyl-phenyl)nicotinamide;
- [2-(1H-Indazol-6-ylamino) (3-pyridyl)]-N-[3-(methylethyl)phenyl]carboxamide;
- [2-(1H-Indazol-6-ylamino) (3-pyridyl)]-N-[4-(methylpropyl)phenyl]carboxamide;
- 15 N-[4-(tert-Butyl)phenyl] [2-(1H-indazol-6-ylamino) (3-pyridyl)]carboxamide;
- [2-(1H-Indazol-6-ylamino) (3-pyridyl)]-N-[3-(trifluoromethyl)phenyl]carboxamide;
- 20 N-[3-(tert-Butyl)phenyl] [2-(1H-indazol-6-ylamino) (3-pyridyl)]carboxamide;
- [2-(Benzotriazol-6-ylamino) (3-pyridyl)]-N-[4-(tert-butyl)phenyl]carboxamide;
- [2-(1H-Indazol-6-ylamino) (3-pyridyl)]-N-(3-phenylpyrazol-5-yl)carboxamide;
- 25 N-(4-Chloro-3-sulfamoylphenyl) [2-(1H-indazol-6-ylamino) (3-pyridyl)]carboxamide;
- [2-(1H-Indazol-6-ylamino) (3-pyridyl)]-N-(4-methyl-2-oxo-1,2-dihydroquinol-7-yl)carboxamide;
- 30 N-[4-(Methylethyl)phenyl] [2-[(4-methyl-2-oxo(7-hydroquinolyl)) amino] (3-pyridyl)]carboxamide;
- N-[5-(tert-Butyl)isoxazol-3-yl] [2-(1H-indazol-6-ylamino) (3-pyridyl)]carboxamide;

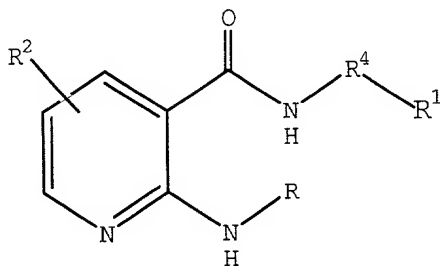
- N-[5-(tert-Butyl)-1-methylpyrazol-3-yl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- N-[4-(tert-Butyl)(1,3-thiazol-2-yl)][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- 5 N-[5-(tert-Butyl)(1,3,4-thiadiazol-2-yl)][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-(1,1,2,2,3,3,4,4,4-nonafluorobutyl)phenyl]carboxamide;
- {2-[(1-Methyl(1H-indazol-6-yl))amino](3-pyridyl)}-N-[4-(methylethyl)phenyl]carboxamide;
- 10 N-[4-(tert-Butyl)phenyl]{2-[(7-bromo(1H-indazol-6-yl))amino](3-pyridyl)}carboxamide;
- 2-(1H-Indazol-6-ylamino)-N-[4-tert-butyl-3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl]nicotinamide;
- 15 [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}carboxamide;
- N-[5-(tert-Butyl)-2-methoxyphenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- 20 [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{6-[4-(trifluoromethyl)piperidyl](3-pyridyl)}carboxamide;
- [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-(1-oxo(7-2,3,4-trihydroisoquinolyl))carboxamide;
- [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-(methylethoxy)phenyl]carboxamide;
- 25 [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}carboxamide;
- N-(4-{(1S)-1-[(Methylethyl)amino]ethyl}phenyl)[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- 30 N-[4-(tert-Butyl)-3-(4-methylpiperazinyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[3-(4-methylpiperazinyl)phenyl]carboxamide;

- N-[4-(tert-Butyl)-2-(4-methylpiperazinyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- N-{2-[2-(Dimethylamino)ethoxy]-5-(tert-butyl)phenyl}[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- 5 N-{3-[2-(Dimethylamino)ethoxy]phenyl}[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- N-(3-Hydroxy-4-methoxyphenyl)[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- N-{3-[2-(Dimethylamino)ethoxy]-4-methoxyphenyl}[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- 10 [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-methoxy-3-(1-methyl(4-piperidyl)oxy)phenyl]carboxamide;
- [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-(5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolin-2-yl)carboxamide;
- 15 [2-({3-[2-(Dimethylamino)ethoxy](1H-indazol-6-yl)}amino)(3-pyridyl)]-N-[4-(tert-butyl)phenyl]carboxamide;
- N-[3,3-Dimethyl-1-(4-piperidylmethyl)indolin-6-yl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-(1H-indazol-6-ylamino)-
- 20 nicotinamide;
- 2-(1H-Indazol-6-ylamino)-N-(4-phenoxy-phenyl)-nicotinamide;
- [2-(1H-Indazol-5-ylamino)(3-pyridyl)]-N-(4-phenoxyphenyl)carboxamide;
- 25 [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-(4-phenylphenyl)carboxamide;
- [2-(1H-indazol-6-ylamino)(3-pyridyl)]-N-[4-(methylsulfonyl)phenyl]carboxamide;
- [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[1-(1-methyl(4-piperidyl))indolin-6-yl]carboxamide;
- 30 N-[3,3-Dimethyl-1-(1-methyl(4-piperidyl))indolin-6-yl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;
- [2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[3-(1-methyl(4-piperidyl))indol-5-yl]carboxamide;

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- [2-(1H-Indazol-6-ylamino) (3-pyridyl)]-N-{4-[2,2,2-trifluoro-
1-(2-piperidylethoxy)-1-
(trifluoromethyl)ethyl]phenyl}carboxamide;
N-[4-(*tert*-Butyl)phenyl][6-fluoro-2-(1H-indazol-6-
5 ylamino) (3-pyridyl)]carboxamide;
[6-Fluoro-2-(1H-indazol-6-ylamino) (3-pyridyl)]-N-[4-
(methylethyl)phenyl]carboxamide;
[6-Fluoro-2-(1H-indazol-6-ylamino) (3-pyridyl)]-N-[3-
(trifluoromethyl)phenyl]carboxamide; and
10 {2-[(1-(2-Pyridyl)pyrrolidin-3-yl)amino] (3-pyridyl)}-N-[3-
(trifluoromethyl)phenyl]carboxamide.

17. A compound of Claim 1 having Formula II



II

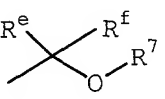
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- wherein R is selected from unsubstituted or substituted 9-
or 10-membered fused nitrogen-containing heteroaryl,
wherein R is substituted with one or more substituents
20 selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
haloalkyl, C₁₋₆-alkoxy, optionally substituted
heterocyclalkoxy, C₁₋₆-alkylamino-C₂₋₄-alkynyl,
C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-
alkoxy-C₁₋₆-alkoxy, and optionally substituted
25 heterocyclalk-C₂₋₄-alkynyl;
wherein R¹ is selected from unsubstituted or substituted
aryl,
cycloalkyl,
5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered
tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more

- substituents selected from halo, C₁₋₆-alkyl, optionally
5 substituted C₃₋₆-cycloalkyl, optionally substituted
phenyl, optionally substituted phenyl-C₁-C₄-alkylenyl,
C₁₋₂-haloalkoxy, optionally substituted phenyloxy,
optionally substituted 4-6 membered heterocyclyl-C₁-C₄-
alkyl, optionally substituted 4-6 membered
10 heterocyclyl-C₂-C₄-alkenyl, optionally substituted 4-6
membered heterocyclyl, optionally substituted 4-6
membered heterocycliloxy, optionally substituted 4-6
membered heterocyclyl-C₁₋₄-alkoxy, optionally
substituted 4-6 membered heterocyclylsulfonyl,
15 optionally substituted 4-6 membered heterocyclylamino,
optionally substituted 4-6 membered
heterocyclylcarbonyl, optionally substituted 4-6
membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy,
20 cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl,
C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-
alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-
alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋

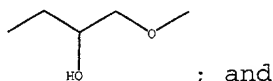
4-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

- 25 wherein R² is one or more substituents independently
selected from

- H,
halo,
hydroxy,
30 amino,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,

- C₁₋₂-alkylamino,
aminosulfonyl,
C₃₋₆-cycloalkyl,
cyano,
5 C₁₋₂-hydroxyalkyl,
nitro,
C₂₋₃-alkenyl,
C₂₋₃-alkynyl,
C₁₋₆-haloalkoxy,
10 C₁₋₆-carboxyalkyl,
4-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered
heterocyclyl;

- 15 wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and



- wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and
wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally
20 substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;
and pharmaceutically acceptable derivatives thereof.

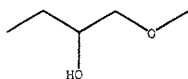
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18. Compound of Claim 17 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl,
30 dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl,

- thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl,
1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl,
isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-
indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl,
5 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-
1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl,
indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl,
benzothienyl, benzofuryl, benzimidazolyl, dihydro-
benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is
10 unsubstituted or substituted with one or more substituents
selected from bromo, chloro, fluoro, iodo, nitro, amino,
cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo,
aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,
phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-
15 4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,
piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-
methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-
(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-
20 piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-
4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-
ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl,
pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,
pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-
25 pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl,
pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,
methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-
methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,
aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-
30 ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-
methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-

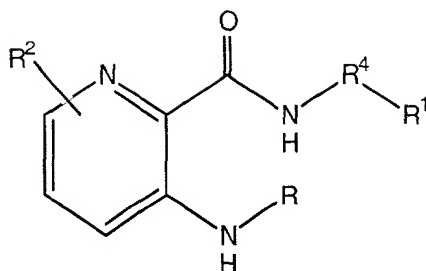
- butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
 nonafluorobutyl, dimethylaminopropyl, 1,1-
 di(trifluoromethyl)-1-hydroxymethyl, 1,1-
 di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
 5 di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
 hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
 aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
 isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
 phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,
 10 pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-
 ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-
 2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-
 ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and
 ethoxy; wherein R² is selected from H, chloro, fluoro,
 15 bromo, amino, hydroxy, methyl, ethyl, propyl, oxo,
 dimethylamino, aminosulfonyl, cyclopropyl, cyano,
 hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy,
 ethoxy, trifluoromethoxy, carboxymethyl,
 morpholinylethylamino, propynyl, unsubstituted or
 20 substituted phenyl and unsubstituted or substituted
 heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl; and
 wherein R⁴ is selected from a direct bond, ethyl, butyl, and



- ; and pharmaceutically acceptable derivatives
 25 thereof.

19. A compound of Claim 1 having Formula III



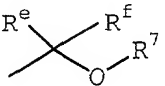
III

wherein R is selected from unsubstituted or substituted 9-
 or 10-membered fused nitrogen-containing heteroaryl,
 where R is substituted with one or more substituents
 selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
 haloalkyl, C₁₋₆-alkoxy, optionally substituted
 heterocyclalkoxy, C₁₋₆-alkylamino-C₂₋₄-alkynyl,
 C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-
 alkoxy-C₁₋₆-alkoxy, and optionally substituted
 heterocyclalkyl-C₂₋₄-alkynyl;

wherein R¹ is selected from unsubstituted or substituted
 aryl,
 cycloalkyl,
 5-6 membered heteroaryl and
 9-10 membered bicyclic and 13-14 membered
 tricyclic heterocyclalkyl,

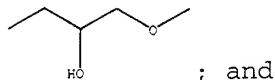
wherein substituted R¹ is substituted with one or more
 substituents selected from halo, C₁₋₆-alkyl, optionally
 substituted C₃₋₆-cycloalkyl, optionally substituted
 phenyl, optionally substituted phenyl-C₁₋₄-alkylenyl,
 C₁₋₂-haloalkoxy, optionally substituted phenoxy,
 optionally substituted 4-6 membered heterocyclalkyl-C₁₋₄-
 alkylenyl, optionally substituted 4-6 membered
 heterocyclalkyl-C₂₋₄-alkenylenyl, optionally substituted
 4-6 membered heterocyclalkyl, optionally substituted 4-6
 membered heterocyclalkoxy, optionally substituted 4-6
 membered heterocyclalkyl-C₁₋₄-alkoxy, optionally
 substituted 4-6 membered heterocyclalkylsulfonyl,

optionally substituted 4-6 membered heterocyclylamino,
 optionally substituted 4-6 membered
 heterocyclylcarbonyl, optionally substituted 4-6
 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
 5 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy,
 cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl,
 C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-
 alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-
 alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋

10 ₄-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;
 wherein R² is one or more substituents independently
 selected from

H,
 halo,
 15 hydroxy,
 amino,
 C₁₋₆-alkyl,
 C₁₋₆-haloalkyl,
 C₁₋₆-alkoxy,
 20 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 cyano,
 C₁₋₂-hydroxyalkyl,
 25 nitro,
 C₂₋₃-alkenyl,
 C₂₋₃-alkynyl,
 C₁₋₆-haloalkoxy,
 C₁₋₆-carboxyalkyl,
 30 4-6-membered heterocyclyl-C₁₋₆-alkylamino,
 unsubstituted or substituted phenyl and
 unsubstituted or substituted 4-6 membered
 heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4} -alkyl, and



wherein R^e and R^f are independently selected from H and C_{1-2} -haloalkyl; and

- 5 wherein R^7 is selected from H, C_{1-3} -alkyl, optionally substituted phenyl, optionally substituted phenyl- C_{1-3} -alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl- C_{1-3} -alkyl, C_{1-3} -alkoxy- C_{1-2} -alkyl and C_{1-3} -alkoxy- C_{1-3} -alkoxy- C_{1-3} -alkyl;
10 and pharmaceutically acceptable derivatives thereof.

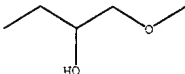
20. Compound of Claim 19 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino,
15 hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl,
20 thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-
25 1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents
30 selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-

- 4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,
piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-
methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-
(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
5 Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-
piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-
4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-
ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl,
pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,
10 pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-
pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl,
pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,
methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-
methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,
15 aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-
ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-
methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
20 hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-
butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
nonafluorobutyl, dimethylaminopropyl, 1,1-
di(trifluoromethyl)-1-hydroxymethyl, 1,1-
di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
25 di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,
30 pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-
ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-
2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-
ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and
ethoxy; wherein R² is selected from H, chloro, fluoro,

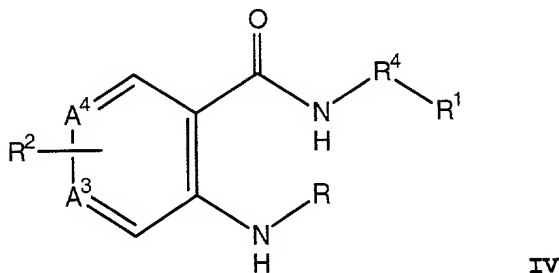
bromo, amino, hydroxy, methyl, ethyl, propyl, oxo,
dimethylamino, aminosulfonyl, cyclopropyl, cyano,
hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy,
ethoxy, trifluoromethoxy, carboxymethyl,

- 5 morpholinylethylamino, propynyl, unsubstituted or
substituted phenyl and unsubstituted or substituted
heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl; and
wherein R^4 is selected from a direct bond, ethyl, butyl, and

- 10  ; and pharmaceutically acceptable derivatives
thereof.

21. A compound of Claim 1 having Formula IV



wherein A^3 is selected from CR^2 and N;

wherein A^4 is selected from CR^2 and N; provided one of A^3 and
20 A^4 is not CR^2 ;

- wherein R is selected from unsubstituted or
substituted 9- or 10-membered fused nitrogen-
containing heteroaryl,

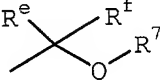
- where R is substituted with one or more substituents
selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -
25 C_{6-} -haloalkyl, C_{1-6} -alkoxy, optionally substituted
heterocyclalkoxy, C_{1-6} -alkylamino- C_{2-4} -alkynyl,
 C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -

alkoxy-C₁₋₆-alkoxy, and optionally substituted
heterocyclyl-C₂₋₄-alkynyl;

wherein R¹ is selected from unsubstituted or substituted
aryl,

- 5 cycloalkyl,
 5-6 membered heteroaryl and
 9-10 membered bicyclic and 13-14 membered
 tricyclic heterocyclyl,

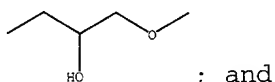
- 10 wherein substituted R¹ is substituted with one or more
 substituents selected from halo, C₁₋₆-alkyl, optionally
 substituted C₃₋₆-cycloalkyl, optionally substituted
 phenyl, optionally substituted phenyl-C₁₋₄-alkylenyl,
 C₁₋₂-haloalkoxy, optionally substituted phenyloxy,
 optionally substituted 4-6 membered heterocyclyl-C₁₋₄-
15 alkylenyl, optionally substituted 4-6 membered
 heterocyclyl-C₂₋₄-alkenylenyl, optionally substituted
 4-6 membered heterocyclyl, optionally substituted 4-6
 membered heterocycliloxy, optionally substituted 4-6
 membered heterocyclyl-C₁₋₄-alkoxy, optionally
20 substituted 4-6 membered heterocyclylsulfonyl,
 optionally substituted 4-6 membered heterocyclylamino,
 optionally substituted 4-6 membered
 heterocyclylcarbonyl, optionally substituted 4-6
 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
25 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy,
 cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl,
 C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-
 alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-
 alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋

- 30 4-alkyl, C₁₋₄-hydroxyalkyl,  and C₁₋₄-alkoxy;

wherein R² is one or more substituents independently
selected from

H,

- halo,
hydroxy,
amino,
C₁₋₆-alkyl,
5 C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₂-alkylamino,
aminosulfonyl,
C₃₋₆-cycloalkyl,
10 cyano,
C₁₋₂-hydroxyalkyl,
nitro,
C₂₋₃-alkenyl,
C₂₋₃-alkynyl,
15 C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
5-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered
20 heterocyclyl;
wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and



- wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl; and
25 wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;
30 and pharmaceutically acceptable derivatives thereof.

22. Compound of Claim 21 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one

or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is

5 selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-

10 indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-

15 benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,

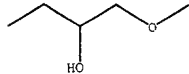
20 phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-

25 Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,

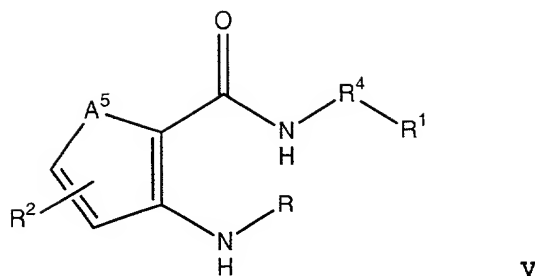
30 pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,

- aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
- 5 imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-
- 10 di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
- 15 phenoxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and
- 20 ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
- 25 morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl; and wherein R^4 is selected from a direct bond, ethyl, butyl, and

- 30  ; and pharmaceutically acceptable derivatives thereof.

23. A compound of Claim 1 having the formula V



wherein A^5 is selected from S, O and NR^6 ;

5 wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

wherein R is substituted with one or more substituents

selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl, C_{1-6} -alkoxy, optionally substituted

10 heterocyclylalkoxy, C_{1-6} -alkylamino- C_{2-4} -alkynyl, C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkoxy- C_{1-6} -alkoxy, and optionally substituted heterocyclyl- C_{2-4} -alkynyl;

wherein R^1 is selected from unsubstituted or substituted

15 aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered

tricyclic heterocyclyl,

20 wherein substituted R^1 is substituted with one or more

substituents selected from halo, C_{1-6} -alkyl, optionally

substituted C_{3-6} -cycloalkyl, optionally substituted

phenyl, optionally substituted phenyl- C_{1-4} -alkylenyl, C_{1-}

2-haloalkoxy, optionally substituted phenyloxy,

25 optionally substituted 4-6 membered heterocyclyl- C_{1-4} -

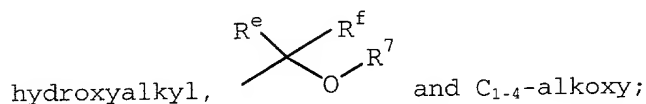
alkylenyl, optionally substituted 4-6 membered

heterocyclyl- C_{2-4} -alkenylenyl, optionally substituted 4-6

membered heterocyclyl, optionally substituted 4-6

membered heterocycliloxy, optionally substituted 4-6

membered heterocyclyl-C₁₋₄-alkoxy, optionally substituted
 4-6 membered heterocyclylsulfonyl, optionally substituted
 4-6 membered heterocyclylamino, optionally substituted 4-
 6 membered heterocyclylcarbonyl, optionally substituted
 5 4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, cyano,
 aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-
 alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-
 C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-
 10 alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-

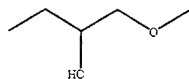


wherein R² is one or more substituents independently
 selected from

H,
 15 halo,
 hydroxy,
 amino,
 C₁₋₆-alkyl,
 C₁₋₆-haloalkyl,
 20 C₁₋₆-alkoxy,
 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 cyano,
 25 C₁₋₂-hydroxyalkyl,
 nitro,
 C₂₋₃-alkenyl,
 C₂₋₃-alkynyl,
 C₁₋₆-haloalkoxy,
 30 C₁₋₆-carboxyalkyl,
 5-6-membered heterocyclyl-C₁₋₆-alkylamino,
 unsubstituted or substituted phenyl and

unsubstituted or substituted 4-6 membered
heterocyclyl;

wherein R^d is selected from a direct bond, C_{1-4} -alkyl, and



; and

5 wherein R^e and R^f are independently selected from H and C_{1-2} -haloalkyl;

wherein R^6 is H or C_{1-6} -alkyl; and

wherein R^7 is selected from H, C_{1-3} -alkyl, optionally

substituted phenyl, optionally substituted phenyl- C_{1-3} -

10 alkyl, 4-6 membered heterocyclyl, optionally substituted

4-6 membered heterocyclyl- C_{1-3} -alkyl, C_{1-3} -alkoxy- C_{1-2} -

alkyl and C_{1-3} -alkoxy- C_{1-3} -alkoxy- C_{1-3} -alkyl;

and pharmaceutically acceptable derivatives thereof.

15 24. Compound of Claim 23 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy,

20 dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl,

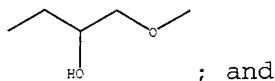
25 isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl,

30 benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino,

- cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo,
aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,
phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-
4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,
5 piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-
methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-
(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-
piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-
10 4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-
ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl,
pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,
pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-
pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl,
15 pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,
methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-
methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,
aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-
ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-
20 methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-
butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
25 nonafluorobutyl, dimethylaminopropyl, 1,1-
di(trifluoromethyl)-1-hydroxymethyl, 1,1-
di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
30 aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,
pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-
ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-

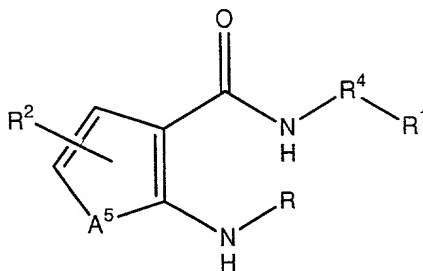
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2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; wherein R^4 is selected from a direct bond, ethyl, butyl, and



wherein R^6 is H or methyl;
and pharmaceutically acceptable derivatives thereof.

25. A compound of Claim 1 having the formula



VI

20

wherein A^5 is selected from S, O and NR^6 ;

wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents

selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl, C_{1-6} -alkoxy, optionally substituted heterocyclalkoxy, C_{1-6} -alkylamino- C_{2-4} -alkynyl, C_{1-6} -alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkoxy- C_{1-6} -

alkoxy, and optionally substituted heterocyclyl-C₂₋₄-alkynyl;

wherein R¹ is selected from unsubstituted or substituted

aryl,

5 cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered

tricyclic heterocyclyl,

wherein substituted R¹ is substituted with one or more

10 substituents selected from halo, C₁₋₆-alkyl, optionally

substituted C₃₋₆-cycloalkyl, optionally substituted

phenyl, optionally substituted phenyl-C₁₋₄-alkylenyl, C₁₋

2-haloalkoxy, optionally substituted phenyloxy,

optionally substituted 4-6 membered heterocyclyl-C₁₋₄-

15 alkylenyl, optionally substituted 4-6 membered

heterocyclyl-C₂₋₄-alkenylenyl, optionally substituted 4-6

membered heterocyclyl, optionally substituted 4-6

membered heterocycliloxy, optionally substituted 4-6

membered heterocyclyl-C₁₋₄-alkoxy, optionally substituted

20 4-6 membered heterocyclylsulfonyl, optionally substituted

4-6 membered heterocyclylamino, optionally substituted 4-

6 membered heterocyclylcarbonyl, optionally substituted

4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-

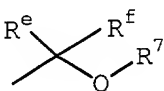
haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, cyano,

25 aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-

alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-

C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-

alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-

hydroxyalkyl,  and C₁₋₄-alkoxy;

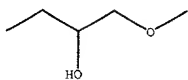
30 wherein R² is one or more substituents independently selected from

H,

halo,

- hydroxy,
 amino,
 C₁₋₆-alkyl,
 C₁₋₆-haloalkyl,
 5 C₁₋₆-alkoxy,
 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 cyano,
 10 C₁₋₂-hydroxyalkyl,
 nitro,
 C₂₋₃-alkenyl,
 C₂₋₃-alkynyl,
 C₁₋₆-haloalkoxy,
 15 C₁₋₆-carboxyalkyl,
 5-6-membered heterocyclyl-C₁₋₆-alkylamino,
 unsubstituted or substituted phenyl and
 unsubstituted or substituted 4-6 membered
 heterocyclyl;

- 20 wherein R^d is selected from a direct bond, C₁₋₄-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl;

wherein R^g is H or C₁₋₆-alkyl; and

- 25 wherein R^h is selected from H, C₁₋₃-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;
 30 and pharmaceutically acceptable derivatives thereof.

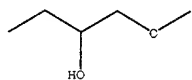
26. Compound of Claim 25 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one

- or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is
- 5 selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-
- 10 indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-
- 15 benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,
- 20 phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
- 25 Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,
- 30 pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,

- aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
- 5 imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-
- 10 di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
- 15 phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and
- 20 ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
- 25 morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

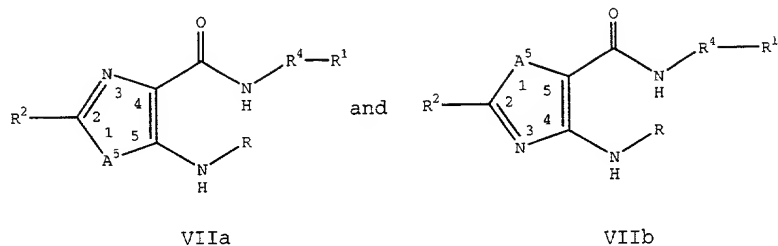


30 ; and

wherein R^6 is H or methyl;

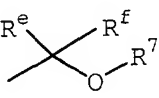
and pharmaceutically acceptable derivatives thereof.

27. A compound of Claim 1 having the formula



- 5 wherein A⁵ is selected from S, O and NR⁶;
 wherein R is selected from unsubstituted or substituted 9-
 or 10-membered fused nitrogen-containing heteroaryl,
 where R is substituted with one or more substituents
 selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
 10 haloalkyl, C₁₋₆-alkoxy, optionally substituted
 heterocyclalkoxy, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-
 alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-
 alkoxy, and optionally substituted heterocyclalkyl-C₂₋₄-
 alkynyl;
 15 wherein R¹ is selected from unsubstituted or substituted
 aryl,
 cycloalkyl,
 5-6 membered heteroaryl and
 9-10 membered bicyclic and 13-14 membered
 20 tricyclic heterocyclalkyl,
 wherein substituted R¹ is substituted with one or more
 substituents selected from halo, C₁₋₆-alkyl, optionally
 substituted C₃₋₆-cycloalkyl, optionally substituted
 phenyl, optionally substituted phenyl-C₁-C₄-alkylenyl, C₁-
 25 2-haloalkoxy, optionally substituted phenyloxy,
 optionally substituted 4-6 membered heterocyclalkyl-C₁-C₄-
 alkylenyl, optionally substituted 4-6 membered
 heterocyclalkyl-C₂-C₄-alkenylenyl, optionally substituted 4-6
 membered heterocyclalkyl, optionally substituted 4-6
 30 membered heterocyclalkoxy, optionally substituted 4-6

membered heterocyclyl-C₁₋₄-alkoxy, optionally substituted
 4-6 membered heterocyclylsulfonyl, optionally substituted
 4-6 membered heterocyclylamino, optionally substituted 4-
 6 membered heterocyclylcarbonyl, optionally substituted
 5 4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, cyano,
 aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-
 alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-
 C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-
 10 alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-

hydroxyalkyl,  and C₁₋₄-alkoxy;

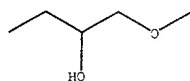
wherein R² is one or more substituents independently
 selected from

H,
 15 halo,
 hydroxy,
 amino,
 C₁₋₆-alkyl,
 C₁₋₆-haloalkyl,
 20 C₁₋₆-alkoxy,
 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 cyano,
 25 C₁₋₂-hydroxyalkyl,
 nitro,
 C₂₋₃-alkenyl,
 C₂₋₃-alkynyl,
 C₁₋₆-haloalkoxy,
 30 C₁₋₆-carboxyalkyl,
 5-6-membered heterocyclyl-C₁₋₆-alkylamino,
 unsubstituted or substituted phenyl and

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unsubstituted or substituted 4-6 membered
heterocyclyl;

wherein R^d is selected from a direct bond, C₁₋₄-alkyl, and



; and

- 5 wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl;

wherein R^g is H or C₁₋₆-alkyl; and

wherein R^h is selected from H, C₁₋₃-alkyl, optionally

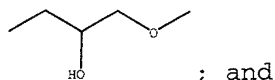
- 10 substituted phenyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;
and pharmaceutically acceptable derivatives thereof.

- 15 28. Compound of Claim 27 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy,
20 dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein Rⁱ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl,
25 isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl,
30 benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where Rⁱ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino,

- cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo,
aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,
phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-
4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,
5 piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-
methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-
(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-
piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-
10 4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-
ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl,
pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl,
pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-
pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl,
15 pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,
methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-
methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,
aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-
ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-
20 methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-
butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
25 nonafluorobutyl, dimethylaminopropyl, 1,1-
di(trifluoromethyl)-1-hydroxymethyl, 1,1-
di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
30 aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,
pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-
ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-

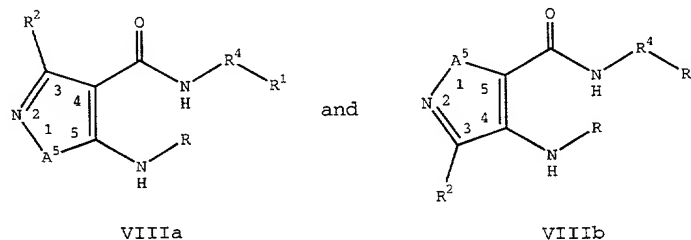
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- 2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; wherein R^4 is selected from a direct bond, ethyl, butyl, and



- wherein R^6 is H or methyl;
and pharmaceutically acceptable derivatives thereof.

29. Compound of Claim 1 of the formulas



- wherein A^5 is selected from S, O and NR^6 ;
wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,
where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -haloalkyl, C_{1-6} -alkoxy, optionally substituted heterocyclalkoxy, C_{1-6} -alkylamino- C_{2-4} -alkynyl, C_{1-6} -

alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-alkoxy, and optionally substituted heterocyclyl-C₂₋₄-alkynyl;

wherein R¹ is selected from unsubstituted or substituted

5 aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered

tricyclic heterocyclyl,

10 wherein substituted R¹ is substituted with one or more

substituents selected from halo, C₁₋₆-alkyl, optionally

substituted C₃₋₆-cycloalkyl, optionally substituted

phenyl, optionally substituted phenyl-C₁-C₄-alkylenyl, C₁-

2-haloalkoxy, optionally substituted phenyloxy,

15 optionally substituted 4-6 membered heterocyclyl-C₁-C₄-

alkylenyl, optionally substituted 4-6 membered

heterocyclyl-C₂-C₄-alkenylenyl, optionally substituted 4-6

membered heterocyclyl, optionally substituted 4-6

membered heterocycliloxy, optionally substituted 4-6

20 membered heterocyclyl-C₁₋₄-alkoxy, optionally substituted

4-6 membered heterocyclylsulfonyl, optionally substituted

4-6 membered heterocyclylamino, optionally substituted 4-

6 membered heterocyclylcarbonyl, optionally substituted

4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-

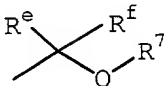
25 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, cyano,

aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-

alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-

C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-

alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-

30 hydroxyalkyl,  and C₁₋₄-alkoxy;

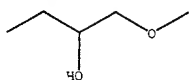
wherein R² is one or more substituents independently

selected from

H,

- halo,
hydroxy,
amino,
C₁₋₆-alkyl,
5 C₁₋₆-haloalkyl,
C₁₋₆-alkoxy,
C₁₋₂-alkylamino,
aminosulfonyl,
C₃₋₆-cycloalkyl,
10 cyano,
C₁₋₂-hydroxyalkyl,
nitro,
C₂₋₃-alkenyl,
C₂₋₃-alkynyl,
15 C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
5-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered
20 heterocyclyl;

wherein R^d is selected from a direct bond, C₁₋₄-alkyl, and



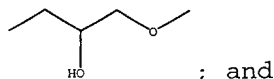
; and

wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl;

- 25 wherein R⁶ is H or C₁₋₆-alkyl; and
wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally
substituted phenyl, optionally substituted phenyl-C₁₋₃-
alkyl, 4-6 membered heterocyclyl, optionally substituted
4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-
30 alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;
and pharmaceutically acceptable derivatives thereof.

30. Compound of Claim 29 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl,
- 5 dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R¹ is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl,
- 10 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl,
- 15 indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino,
- 20 cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-
- 25 methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-
- 30 ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,

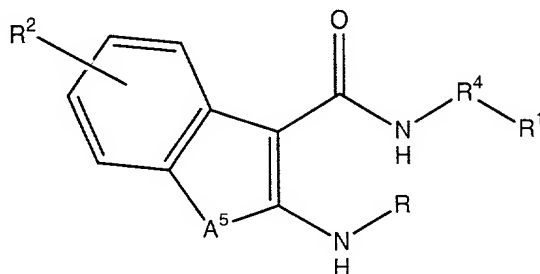
- methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-
 methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,
 aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-
 ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-
 5 methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
 methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
 imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
 hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-
 butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
 10 nonafluorobutyl, dimethylaminopropyl, 1,1-
 di(trifluoromethyl)-1-hydroxymethyl, 1,1-
 di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
 di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
 hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
 15 aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
 isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
 phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy,
 pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-
 ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-
 20 2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-
 ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and
 ethoxy; wherein R² is selected from H, chloro, fluoro,
 bromo, amino, hydroxy, methyl, ethyl, propyl, oxo,
 dimethylamino, aminosulfonyl, cyclopropyl, cyano,
 25 hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy,
 ethoxy, trifluoromethoxy, carboxymethyl,
 morpholinylethylamino, propynyl, unsubstituted or
 substituted phenyl and unsubstituted or substituted
 heteroaryl selected from thienyl,
 30 furanyl, pyridyl, imidazolyl, and pyrazolyl;
 wherein R⁴ is selected from a direct bond, ethyl, butyl, and



wherein R⁶ is H or methyl;

and pharmaceutically acceptable derivatives thereof.

31. Compound of Claim 1 of the formula



IX

wherein A⁵ is selected from S, O and NR⁶;

wherein R is selected from

unsubstituted or substituted 9- or 10-membered fused
nitrogen-containing heteroaryl,

where R is substituted with one or more substituents

selected from halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-
haloalkyl, C₁₋₆-alkoxy, optionally substituted

heterocyclalkoxy, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-

alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkoxy-C₁₋₆-

alkoxy, and optionally substituted heterocyclalkyl-C₂₋₄-
alkynyl;

wherein R¹ is selected from unsubstituted or substituted
aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered

tricyclic heterocyclalkyl,

wherein substituted R¹ is substituted with one or more

substituents selected from halo, C₁₋₆-alkyl, optionally

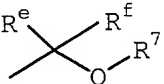
substituted C₃₋₆-cycloalkyl, optionally substituted

phenyl, optionally substituted phenyl-C₁₋₄-alkylenyl, C₁₋

₂-haloalkoxy, optionally substituted phenyloxy,

optionally substituted 4-6 membered heterocyclalkyl-C₁₋₄-

- alkylenyl, optionally substituted 4-6 membered
 heterocyclyl-C₂-C₄-alkenylenyl, optionally substituted 4-6
 membered heterocyclyl, optionally substituted 4-6
 membered heterocycloxy, optionally substituted 4-6
 5 membered heterocyclyl-C₁₋₄-alkoxy, optionally substituted
 4-6 membered heterocyclylsulfonyl, optionally substituted
 4-6 membered heterocyclylamino, optionally substituted 4-
 6 membered heterocyclylcarbonyl, optionally substituted
 4-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₂-
 10 haloalkyl, C₁₋₄-aminoalkyl, nitro, amino, hydroxy, cyano,
 aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-
 alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-
 C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-
 alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-

- 15 hydroxyalkyl,  and C₁₋₄-alkoxy;

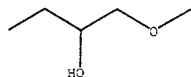
wherein R² is one or more substituents independently
 selected from

- H,
 halo,
 20 hydroxy,
 amino,
 C₁₋₆-alkyl,
 C₁₋₆-haloalkyl,
 C₁₋₆-alkoxy,
 25 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 cyano,
 C₁₋₂-hydroxyalkyl,
 30 nitro,
 C₂₋₃-alkenyl,
 C₂₋₃-alkynyl,
 C₁₋₆-haloalkoxy,

C₁₋₆-carboxyalkyl,
5-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered
heterocyclyl;

5

wherein R^d is selected from a direct bond, C₁₋₄-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C₁₋₂-
haloalkyl;

10

wherein R^g is H or C₁₋₆-alkyl; and

wherein R^h is selected from H, C₁₋₃-alkyl, optionally
substituted phenyl, optionally substituted phenyl-C₁₋₃-
alkyl, 4-6 membered heterocyclyl, optionally substituted
4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-
alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl;

15

and pharmaceutically acceptable derivatives thereof.

32. Compound of Claim 31 wherein R is selected from
indazolyl, where R is unsubstituted or substituted with one
or more substituents selected from chloro, fluoro, amino,
hydroxy, methyl, ethyl, propyl, trifluoromethyl,
dimethylaminopropynyl, 1-methylpiperidinylmethoxy,
dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein Rⁱ is
selected from phenyl, tetrahydronaphthyl, indanyl, indenyl,
naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl,
thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl,
1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl,
isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-
indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl,
benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-
fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl,
tetrahydroquinolyl, indazolyl, 2,1,3-benzothiadiazolyl,
benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl,

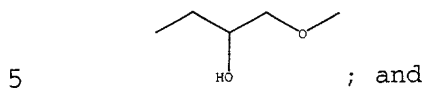
30

- dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl,
where R¹ is unsubstituted or substituted with one or more
substituents selected from bromo, chloro, fluoro, iodo,
nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy,
5 aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl,
phenyl, phenylmethyl, morpholinylmethyl,
methylpiperazinylmethyl, methylpiperazinylpropyl,
morpholinylpropyl, methylpiperidinylmethyl,
morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl,
10 piperidinylethyl, piperidinylmethyl, piperidinylpropyl,
pyrrolidinylpropyl, pyrrolidinylpropenyl,
pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,
methylcarbonyl, piperidinylmethylcarbonyl,
methylpiperazinylcarbonylethyl, methoxycarbonyl, 3-
15 ethoxycarbonyl-2-methyl-fur-5-yl, methylpiperazinyl,
methylpiperidyl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-
butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
20 nonafluorobutyl, dimethylaminopropyl, 1,1-
di(trifluoromethyl)-1-hydroxymethyl, 1,1-
di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
25 aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
phenyloxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and
ethoxy; wherein R² is selected from H, chloro, fluoro,
bromo, amino, hydroxy, methyl, ethyl, propyl, oxo,
30 dimethylamino, aminosulfonyl, cyclopropyl, cyano,
hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy,
ethoxy, trifluoromethoxy, carboxymethyl,
morpholinylethylamino, propynyl, unsubstituted or

substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

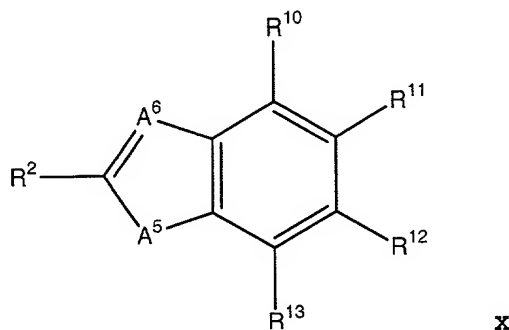


wherein R^6 is H or methyl;

and pharmaceutically acceptable derivatives thereof.

33. Compound of Claim 1 of the formula

10



wherein A^5 is selected from S, O and NR^6 ;

wherein A^6 is selected from CR^2 and N;

15 wherein R is selected from

unsubstituted or substituted 9- or 10-membered fused
nitrogen-containing heteroaryl,

where R is substituted with one or more substituents

selected from halo, amino, hydroxy, C_{1-6} -alkyl, C_{1-6} -

20 haloalkyl, C_{1-6} -alkoxy, optionally substituted

heterocyclalkoxy, C_{1-6} -alkylamino- C_{2-4} -alkynyl, C_{1-6} -

alkylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkoxy- C_{1-6} -

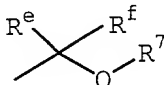
alkoxy, and optionally substituted heterocyclalkyl- C_{2-4} -

alkynyl;

25 wherein R^1 is selected from unsubstituted or substituted
aryl,

cycloalkyl,
 5-6 membered heteroaryl and
 9-10 membered bicyclic and 13-14 membered
 tricyclic heterocyclyl,

- 5 wherein substituted R^1 is substituted with one or more
 substituents selected from halo, C_{1-6} -alkyl, optionally
 substituted C_{3-6} -cycloalkyl, optionally substituted
 phenyl, optionally substituted phenyl- C_{1-4} -alkylenyl, C_{1-}
 2-haloalkoxy, optionally substituted phenyloxy,
 10 optionally substituted 4-6 membered heterocyclyl- C_{1-4} -
 alkylenyl, optionally substituted 4-6 membered
 heterocyclyl- C_{2-4} -alkenylenyl, optionally substituted 4-6
 membered heterocyclyl, optionally substituted 4-6
 membered heterocycloxy, optionally substituted 4-6
 15 membered heterocyclyl- C_{1-4} -alkoxy, optionally substituted
 4-6 membered heterocyclylsulfonyl, optionally substituted
 4-6 membered heterocyclylamino, optionally substituted 4-
 6 membered heterocyclylcarbonyl, optionally substituted
 4-6 membered heterocyclyl- C_{1-4} -alkylcarbonyl, C_{1-2} -
 20 haloalkyl, C_{1-4} -aminoalkyl, nitro, amino, hydroxy, cyano,
 aminosulfonyl, C_{1-2} -alkylsulfonyl, halosulfonyl, C_{1-4} -
 alkylcarbonyl, C_{1-3} -alkylamino- C_{1-3} -alkyl, C_{1-3} -alkylamino-
 C_{1-3} -alkoxy, C_{1-3} -alkylamino- C_{1-3} -alkoxy- C_{1-3} -alkoxy, C_{1-4} -
 alkoxy carbonyl, C_{1-4} -alkoxy carbonylamino- C_{1-4} -alkyl, C_{1-4} -

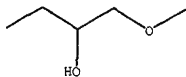
- 25 hydroxyalkyl,  and C_{1-4} -alkoxy;

wherein R^2 is one or more substituents independently
 selected from

- H,
 halo,
 30 hydroxy,
 amino,
 C_{1-6} -alkyl,
 C_{1-6} -haloalkyl,

- C₁₋₆-alkoxy,
 C₁₋₂-alkylamino,
 aminosulfonyl,
 C₃₋₆-cycloalkyl,
 5 cyano,
 C₁₋₂-hydroxyalkyl,
 nitro,
 C₂₋₃-alkenyl,
 C₂₋₃-alkynyl,
 10 C₁₋₆-haloalkoxy,
 C₁₋₆-carboxyalkyl,
 5-6-membered heterocyclyl-C₁₋₆-alkylamino,
 unsubstituted or substituted phenyl and
 unsubstituted or substituted 4-6 membered
 15 heterocyclyl;

wherein R⁴ is selected from a direct bond, C₁₋₄-alkyl, and

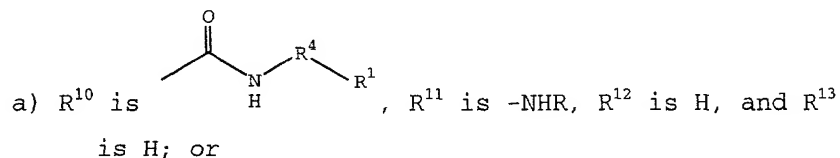


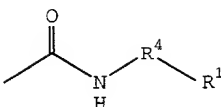
; and

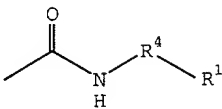
wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl;

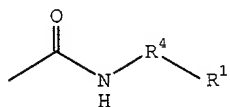
- 20 wherein R⁶ is H or C₁₋₆-alkyl;
 wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally
 substituted phenyl, optionally substituted phenyl-C₁₋₃-
 alkyl, 4-6 membered heterocyclyl, optionally substituted
 4-6 membered heterocyclyl-C₁₋₃-alkyl, C₁₋₃-alkoxy-C₁₋₂-
 25 alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl; and

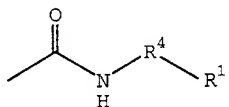
wherein

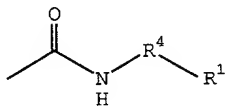


b) R^{10} is -NHR, R^{11} is , R^{12} is H, and R^{13} is H; or

c) R^{10} is H, R^{11} is -NHR, R^{12} is , and R^{13} is H; or

5 d) R^{10} is H, R^{11} is , R^{12} is -NHR, and R^{13} is H; or

e) R^{10} is H, R^{11} is H, R^{12} is , and R^{13} is -NHR; or

10 f) R^{10} is H, R^{11} is H, R^{12} is -NHR, and R^{13} is ;
and pharmaceutically acceptable derivatives thereof.

34. Compound of Claim 33 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperidinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinoxalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolyl,

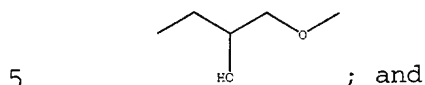
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- indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl,
benzothienyl, benzofuryl, benzimidazolyl, dihydro-
benzimidazolyl, benzoxazolyl and benzthiazolyl, where R¹ is
5 unsubstituted or substituted with one or more substituents
selected from bromo, chloro, fluoro, iodo, nitro, amino,
cyano, aminoethyl, Boc-aminoethyl, hydroxy, aminosulfonyl,
4-methylpiperazinylsulfonyl, cyclohexyl, phenyl,
phenylmethyl, morpholinylmethyl, methylpiperazinylmethyl,
methylpiperazinylpropyl, morpholinylpropyl,
10 methylpiperidinylmethyl, morpholinylethyl, 1-(4-
morpholinyl)-2,2-dimethylpropyl, piperidinylethyl,
piperidinylmethyl, piperidinylpropyl, pyrrolidinylpropyl,
pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl,
methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl,
15 methylpiperazinylcarbonylethyl, methoxycarbonyl, 3-
ethoxycarbonyl-2-methyl-fur-5-yl, methylpiperazinyl,
methylpiperidyl, 1-methyl-(1,2,3,6-tetrahydropyridyl),
imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl,
hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-
20 butyl, sec-butyl, trifluoromethyl, pentafluoroethyl,
nonafluorobutyl, dimethylaminopropyl, 1,1-
di(trifluoromethyl)-1-hydroxymethyl, 1,1-
di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
25 hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy,
phenyloxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and
ethoxy; wherein R² is selected from H, chloro, fluoro,
30 bromo, amino, hydroxy, methyl, ethyl, propyl, oxo,
dimethylamino, aminosulfonyl, cyclopropyl, cyano,
hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy,
ethoxy, trifluoromethoxy, carboxymethyl,
morpholinylethylamino, propynyl, unsubstituted or

substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

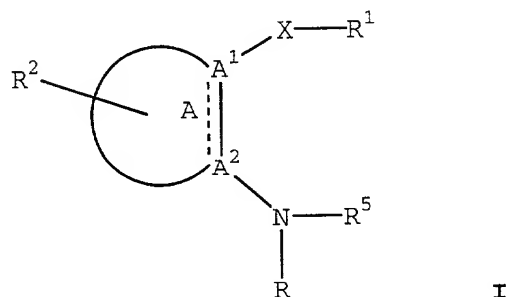


wherein R^6 is H or methyl;

and pharmaceutically acceptable derivatives thereof.

35. A pharmaceutical composition comprising a
10 pharmaceutically-acceptable carrier and a compound as in any
of Claims 1-34.

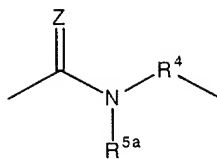
36. A method of treating cancer in a subject, said
method comprising administering an effective amount of a
15 compound of formula I



wherein each of A^1 and A^2 is independently C or N;

20 wherein ring A is selected from

- a) 5- or 6-membered partially saturated heterocyclyl,
- b) 5- or 6-membered heteroaryl,
- c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,
- 25 d) 9- or 10-membered fused heteroaryl,
- e) aryl, and
- f) 4-, 5- or 6-membered cycloalkenyl;



wherein X is

;

wherein Z is oxygen or sulfur;

wherein R is selected from

- a) substituted or unsubstituted 4-6 membered heterocyclyl,
- b) substituted aryl, and
- c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, alkylaminoalkoxyalkoxy, cyano, alkylaminoalkoxy, lower alkyl substituted with R^2 , lower alkenyl substituted with R^2 , and lower alkynyl substituted with R^2 ;

wherein R^1 is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- b) substituted or unsubstituted 4-6 membered heterocyclyl,
- c) substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

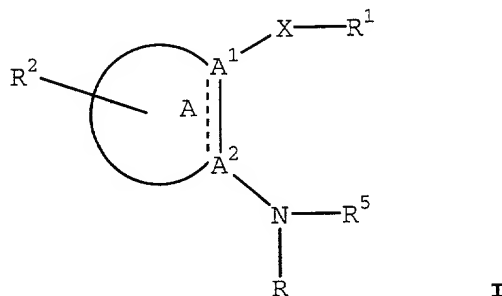
wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4 \text{ alkylenyl}R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl,

- optionally substituted phenyl, halosulfonyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^2 , lower alkenyl substituted with R^2 , and lower alkynyl substituted with R^2 ;
- 5 with R^2 ;
- wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;
- 10 substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;
- 15 wherein R^3 is selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C_3-C_6 -cycloalkyl, optionally substituted phenylalkyl, optionally substituted 4-6 membered heterocyclylalkyl, optionally substituted C_3-C_6 cycloalkylalkyl, and lower haloalkyl;
- 20 substituted C_3-C_6 cycloalkylalkyl, and lower haloalkyl;
- wherein R^4 is selected from a direct bond, C_{2-4} -alkylenyl, C_{2-4} -alkenylenyl and C_{2-4} -alkynylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an $-NH-$, wherein R^4 is optionally substituted with hydroxy;
- 25 wherein R^5 is selected from H, lower alkyl, optionally substituted phenyl and optionally substituted lower aralkyl;
- wherein R^{14} is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C_3-C_6 cycloalkyl;
- 30 and pharmaceutically acceptable derivatives thereof; provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl.

37. The method of Claim 36 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and
5 miscellaneous agents.

38. A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound as in any of Formula I

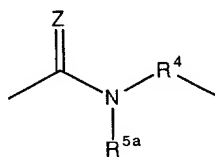
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wherein each of A¹ and A² is independently C or N;

wherein ring A is selected from

- 15 a) 5- or 6-membered partially saturated heterocyclyl,
 b) 5- or 6-membered heteroaryl,
 c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,
 d) 9- or 10-membered fused heteroaryl,
 20 e) aryl, and
 f) 4-, 5- or 6-membered cycloalkenyl;



wherein X is



wherein Z is oxygen or sulfur;

wherein R is selected from

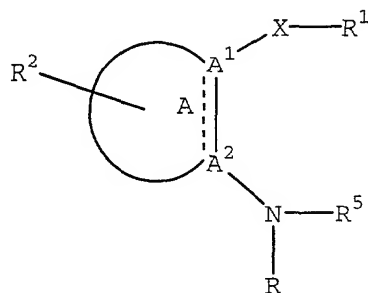
- a) substituted or unsubstituted 4-6 membered heterocyclyl,
b) substituted aryl, and
c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;
5 wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, alkylaminoalkoxyalkoxy, cyano, alkylaminoalkoxy, lower alkyl substituted with R^2 , lower alkenyl substituted with R^2 , and lower alkynyl substituted with R^2 ;
10 wherein R^1 is selected from
a) substituted or unsubstituted 6-10 membered aryl,
b) substituted or unsubstituted 4-6 membered heterocyclyl,
c) substituted or unsubstituted 9-14 membered bicyclic or
15 tricyclic heterocyclyl,
d) cycloalkyl, and
e) cycloalkenyl,
wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$,
20 $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl $R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, halosulfonyl, cyano,
25 alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^2 , lower alkenyl substituted with R^2 , and lower alkynyl substituted with R^2 ;
30

- wherein R² is one or more substituents independently selected from H, halo, -OR³, oxo, -SR³, -CO₂R³, -COR³, -CONR³R³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;
- 10 wherein R³ is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C₃-C₆-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 4-6 membered heterocyclalkyl, optionally substituted C₃-C₆ cycloalkylalkyl, and lower haloalkyl;
- 15 wherein R⁴ is selected from a direct bond, C₂₋₄-alkylenyl, C₂₋₄-alkenylenyl and C₂₋₄-alkynylenyl, where one of the CH₂ groups may be replaced with an oxygen atom or an -NH-, wherein R⁴ is optionally substituted with hydroxy;
- 20 wherein R⁵ is selected from H, lower alkyl, optionally substituted phenyl and optionally substituted lower aralkyl;
- 25 wherein R¹⁴ is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C₃-C₆ cycloalkyl; and pharmaceutically acceptable derivatives thereof; provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl.

30

39. A compound as in any of Claims 1-34 for use in a method of therapeutic treatment for the human or animal body.

40. A method of treating KDR-related disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I



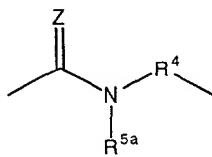
5

I

wherein each of A¹ and A² is independently C or N;

wherein ring A is selected from

- a) 5- or 6-membered partially saturated heterocyclyl,
- 10 b) 5- or 6-membered heteroaryl,
- c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,
- d) 9- or 10-membered fused heteroaryl,
- e) aryl, and
- 15 f) 4-, 5- or 6-membered cycloalkenyl;



wherein X is

wherein Z is oxygen or sulfur;

wherein R is selected from

- a) substituted or unsubstituted 4-6 membered
- 20 heterocyclyl,
- b) substituted aryl, and
- c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;
- wherein substituted R is substituted with one or more
- 25 substituents independently selected from halo, -OR³,

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-SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³,
-NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally
substituted 4-6 membered heterocyclyl, optionally
substituted phenyl, nitro, alkylaminoalkoxyalkoxy,
5 cyano, alkylaminoalkoxy, lower alkyl substituted
with R², lower alkenyl substituted with R², and
lower alkynyl substituted with R²;

wherein R¹ is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- 10 b) substituted or unsubstituted 4-6 membered
heterocyclyl,
- c) substituted or unsubstituted 9-14 membered bicyclic or
tricyclic heterocyclyl,
- d) cycloalkyl, and
- 15 e) cycloalkenyl,

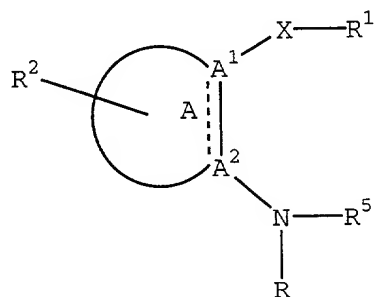
wherein substituted R¹ is substituted with one or more
substituents independently selected from halo, -OR³,
-SR³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -NH(C₁-C₄
alkylenylR¹⁴), -SO₂R³, -SO₂NR³R³, -NR³C(O)OR³, -
20 NR³C(O)R³, optionally substituted cycloalkyl,
optionally substituted 4-6 membered heterocyclyl,
optionally substituted phenyl, halosulfonyl, cyano,
alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro,
lower alkyl substituted with R², lower alkenyl
25 substituted with R², and lower alkynyl substituted
with R²;

wherein R² is one or more substituents independently selected

- from H, halo, -OR³, oxo, -SR³, -CO₂R³, -COR³, -CONR³R³, -
NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl,
30 optionally substituted phenylalkylenyl, optionally
substituted 4-6 membered heterocyclyl, optionally
substituted heteroarylalkylenyl, optionally substituted
phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower

- carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl; wherein R^3 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6
- 5 optionally substituted membered heterocyclyl, optionally substituted C_3 - C_6 -cycloalkyl, optionally substituted phenylalkyl, optionally substituted 4-6 membered heterocyclylalkyl, optionally substituted C_3 - C_6 cycloalkylalkyl, and lower haloalkyl;
- 10 wherein R^4 is selected from a direct bond, C_{2-4} -alkylenyl, C_{2-4} -alkenylenyl and C_{2-4} -alkynylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-, wherein R^4 is optionally substituted with hydroxy;
- wherein R^5 is selected from H, lower alkyl, optionally
- 15 substituted phenyl and optionally substituted lower aralkyl;
- wherein R^{14} is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C_3 - C_6 cycloalkyl;
- 20 and pharmaceutically acceptable derivatives thereof; provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl.

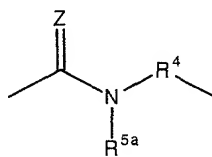
41. A method of treating proliferation-related
- 25 disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I

**I**

wherein each of A¹ and A² is independently C or N;

wherein ring A is selected from

- a) 5- or 6-membered partially saturated heterocyclyl,
- 5 b) 5- or 6-membered heteroaryl,
- c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,
- d) 9- or 10-membered fused heteroaryl,
- e) aryl, and
- 10 f) 4-, 5- or 6-membered cycloalkenyl;



wherein X is

wherein Z is oxygen or sulfur;

wherein R is selected from

- a) substituted or unsubstituted 4-6 membered
- 15 heterocyclyl,
- b) substituted aryl, and
- c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;
- wherein substituted R is substituted with one or more
- 20 substituents independently selected from halo, -OR³, -SR³, -SO₂R³, -CO₂R³, -CONR³R³, -COR³, -NR³R³, -SO₂NR³R³, -NR³C(O)OR³, -NR³C(O)R³, cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, alkylaminoalkoxyalkoxy,
- 25 cyano, alkylaminoalkoxy, lower alkyl substituted with R², lower alkenyl substituted with R², and lower alkynyl substituted with R²;

wherein R¹ is selected from

- a) substituted or unsubstituted 6-10 membered aryl,
- 30 b) substituted or unsubstituted 4-6 membered heterocyclyl,

- c) substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl,
- d) cycloalkyl, and
- e) cycloalkenyl,

5 wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl $R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, 10 optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, halosulfonyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^2 , lower alkenyl substituted with R^2 , and lower alkynyl substituted 15 with R^2 ;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, 20 optionally substituted phenylalkylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

25 wherein R^3 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C_3-C_6 -cycloalkyl, optionally substituted phenylalkyl, 30 optionally substituted 4-6 membered heterocyclylalkyl, optionally substituted C_3-C_6 cycloalkylalkyl, and lower haloalkyl;

wherein R^4 is selected from a direct bond, C_{2-4} -alkylenyl, C_{2-4} -alkenylenyl and C_{2-4} -alkynylenyl, where one of the CH_2

groups may be replaced with an oxygen atom or an -NH-,
wherein R⁴ is optionally substituted with hydroxy;
wherein R⁵ is selected from H, lower alkyl, optionally
substituted phenyl and optionally substituted lower
5 aralkyl;
wherein R¹⁴ is selected from H, optionally substituted
phenyl, optionally substituted 4-6 membered heterocyclyl
and optionally substituted C₃-C₆ cycloalkyl;
and pharmaceutically acceptable derivatives thereof;
10 provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-
pyridyl.

42. Method of Claim 41 wherein the disorder is
inflammation or an inflammation-related disorder.

15

43. Compound of Claim 1 and pharmaceutically
acceptable salts thereof selected from
2-(1H-Indazol-6-ylamino)-N-[3-(3-morpholin-4-yl-propyl)-5-
trifluoromethyl-phenyl]-nicotinamide;
20 2-(1H-Indazol-6-ylamino)-N-[3-(3-piperidin-1-yl-propyl)-5-
trifluoromethyl-phenyl]-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-piperidin-4-
ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-pyrrolidin-2-
25 ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-[3-(piperidin-4-yloxy)-5-
trifluoromethyl-phenyl]-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-[3-(piperidin-4-ylmethoxy)-5-
trifluoromethyl-phenyl]-nicotinamide;
30 N-(3,3-Dimethyl-1,1-dioxo-2,3-dihydro-1H-116-
benzo[d]isothiazol-6-yl)-2-(1H-indazol-6-ylamino)-
nicotinamide;
2-(1H-Indazol-6-ylamino)-N-(5,5,8,8-tetramethyl-5,6,7,8-
tetrahydro-naphthalen-2-yl)-nicotinamide;

- 2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-piperidin-4-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;
- 2-(1H-Indazol-6-ylamino)-N-[3-(1-isopropyl-piperidin-4-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;
- 5 N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
- 2-(1H-Indazol-6-ylamino)-N-[4-pentafluoroethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-nicotinamide;
- 10 N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
- 2-(1H-Indazol-6-ylamino)-N-[4-pentafluoroethyl-3-(pyrrolidin-2-ylmethoxy)-phenyl]-nicotinamide;
- 15 2-(1H-Indazol-6-ylamino)-N-[4-pentafluoroethyl-3-(pyrrolidin-2-ylmethoxy)-phenyl]-nicotinamide;
- 2-(1H-Indazol-6-ylamino)-N-[3-(pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-nicotinamide;
- 2-(1H-Indazol-6-ylamino)-N-[3-(2-pyrrolidin-1-yl-ethoxy)-4-trifluoromethyl-phenyl]-nicotinamide;
- 20 N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;
- 2-(1H-Indazol-6-ylamino)-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-nicotinamide;
- 25 N-(4-Acetyl-2,2-dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;
- 2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-piperidin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;
- N-(3-Bromo-5-trifluoromethyl-phenyl)-2-(1H-indazol-6-ylamino)-nicotinamide;
- 30 2-(1H-Indazol-6-ylamino)-N-(2,2,4-trimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-nicotinamide;
- N-[4-tert-Butyl-3-(pyrrolidin-2-ylmethoxy)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

- N-(7-Acetyl-5,5-dimethyl-5,6,7,8-tetrahydro-naphthalen-2-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;
1-Boc-2-(2-tert-Butyl-5-{[2-(1H-indazol-6-ylamino)-pyridine-3-carbonyl]-amino}-phenoxy-methyl)-pyrrolidine;
- 5 N-[4-tert-Butyl-3-(piperidin-4-ylmethoxy)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-[3-(pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
N-(4-tert-Butyl-3-piperazin-1-yl-phenyl)-2-(1H-indazol-6-ylamino)-nicotinamide;
- 10 N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;
N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;
- 15 N-(2,2-Dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;
N-[4-tert-Butyl-3-(4-propyl-piperazin-1-yl)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
N-[4-tert-Butyl-3-(4-isopropyl-piperazin-1-yl)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
- 20 2-(1H-Indazol-6-ylamino)-N-[3-(1-methylpyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
N-(4,4-Dimethyl-1-oxo-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;
- 25 N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;
N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-(1H-indazol-6-ylamino)-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-[3-(4-methyl-piperazin-1-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;
- 30 2-(1H-Indazol-6-ylamino)-N-[3-(4-Boc-piperazin-1-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-(3-morpholin-4-ylmethoxy)-4-pentafluoroethyl-phenyl)-nicotinamide;

- 2-(1H-Indazol-6-ylamino)-N-(4-pentafluoroethyl-3-piperazin-1-ylmethyl-phenyl)-nicotinamide;
N-[4-tert-Butyl-3-(4-Boc-piperazin-1-yl)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
5 N-(4-tert-Butyl-3-nitro-phenyl)-2-(1H-indazol-6-ylamino)-nicotinamide;
N-(3-Amino-4-tert-butyl-phenyl)-2-(1H-indazol-6-ylamino)-nicotinamide;
N-[4-tert-Butyl-3-(2-hydroxy-ethylamino)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
10 N-[4-tert-Butyl-3-(2-morpholin-4-yl-ethylamino)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
N-[4-tert-Butyl-3-(1-Boc-piperidin-4-ylamino)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
15 2-(1H-Indazol-6-ylamino)-N-[2-(2-morpholin-4-yl-ethyl)-1,2,3,4-tetrahydro-isoquinolin-7-yl]-nicotinamide;
N-[4-tert-Butyl-2-(4-methyl-piperazin-1-yl)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-(2-oxo-4-trifluoromethyl-2H-chromen-7-yl)-nicotinamide;
20 2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-(1H-indol-7-yl)-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;
25 N-[4-tert-Butyl-3-(piperidin-4-ylamino)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;
2-(1H-Indazol-6-ylamino)-N-(3-piperazin-1-ylmethyl-5-trifluoromethyl-phenyl)-nicotinamide; and
30 N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-(1H-indazol-6-ylamino)-nicotinamide.